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                 BEILSTEIN updated with new compounds
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                 Derwent Indian patent publication number format enhanced
NEWS 5
         NOV 19
                 WPIX enhanced with XML display format
NEWS 6
         NOV 30 ICSD reloaded with enhancements
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                 MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
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                 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                 of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25
                 IMSPRODUCT reloaded with enhancements
NEWS 27 FEB 29
                 WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                 U.S. National Patent Classification
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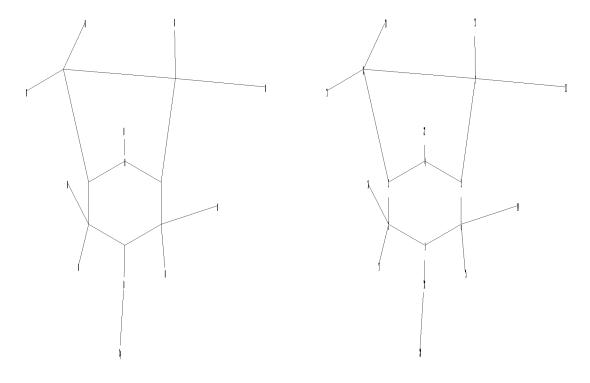
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes :
10  11  12  13  14  15  16  17  18  20  22
ring nodes :
1  2  3  4  5  6  7  8
chain bonds :
1-18  2-16  2-17  4-22  6-14  6-15  7-12  7-13  8-10  8-11  18-20
ring bonds :
1-2  1-6  2-3  3-4  3-8  4-5  5-6  5-7  7-8
exact/norm bonds :
1-2  1-6  1-18  2-3  3-4  4-5  5-6  18-20
exact bonds :
2-16  2-17  3-8  4-22  5-7  6-14  6-15  7-8  7-12  7-13  8-10  8-11
isolated ring systems :
containing 1 :
```

G1:0,S,N

G2:C,H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:Atom 22:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS
L1 STR

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:26:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 942 TO ITERATE

100.0% PROCESSED 942 ITERATIONS 10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 16999 TO 20681

PROJECTED ANSWERS: 11 TO 389

L2 10 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 12:26:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 18201 TO ITERATE

100.0% PROCESSED 18201 ITERATIONS 278 ANSWERS

SEARCH TIME: 00.00.01

L3 278 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 12:26:52 ON 02 MAR 2008
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=> s 13 full L4 28 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1364459 CAPLUS

DOCUMENT NUMBER: 148:33707

TITLE: Fused bicycloheterocycle substituted azabicyclic

alkane derivatives and their preparation,

pharmaceutical compositions and use in the treatment

of diseases

INVENTOR(S):
Ji, Jianguo; Li, Tao; Lynch, Christopher L.;

Gopalakrishnan, Murali Abbott Laboratories, USA PCT Int. Appl., 107pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

GΙ

	PATENT NO.					D	DATE		APPLICATION NO.						DATE				
	WO 200	O 2007137030			A2	A2		20071129		WO 2007-US68930						20070515			
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		IS,	ΙT,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,		
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$,	MR,	ΝE,	SN,	TD,	ΤG,	BW,		
							MΖ,	•	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,		
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	$^{\mathrm{TM}}$											
US 2008045539					A1		2008	0221	US 2007-748527						20070515				
PRIORITY APPLN. INFO.:										US 2	006-	8021	95P		P 2	0060	519		
OTHER SOURCE(S):					MAR	PAT	148:	3370	7										

AB The invention relates to fused bicycloheterocycle substituted azabicyclic alkane derivs. of formula I, compns. comprising such compds., and methods of treating conditions and disorders using such compds. and compns. Compds. of formula I wherein X is (CH2)1-3; A is N and N+O-; R is H, alkyl, cycloalkylalkyl, and arylalkyl; L is O, S, and NH and derivs.; Ar1

is 6-membered (hetero)aryl; Ar2 is bicyclic heteroaryl; and their pharmaceutically acceptable salts, esters, amides, and prodrugs thereof, are claimed. Example compound endo-II•TFA was prepared by 0-arylation of endo-tropine with 3,6-dichloropyridazine; the resulting endo-3-(6-chloropyridazin-3-yloxy)-8-methyl-8-azabicyclo[3.2.1]octane underwent cross-coupling with 5-(4,4,5,5-tetramethyl[1,3,2]dioxaborolan-2-yl)-1H-indole to give endo-II•TFA. All the invention compds. were evaluated for nAChR binding affinity.

IT 959394-80-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate and intermediate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959394-80-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

IT 959157-91-6P 959157-95-0P 959157-96-1P 959158-57-7P 959158-58-8P 959394-73-1P 959394-74-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959157-91-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-y1)-3-pyridinyl]oxy]-, hydrochloride (1:2), (3-endo)- (CA INDEX NAME)

RN 959157-95-0 CAPLUS

CN 2H-Indol-2-one, 5-[5-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl]-1,3-dihydro- (CA INDEX NAME)

Relative stereochemistry.

RN 959157-96-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-pyrrolo[2,3-b]pyridin-5-yl)-3-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959158-57-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-4-yl)-3-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

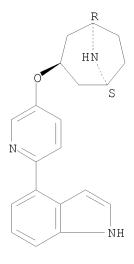
RN 959158-58-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-4-yl)-3-pyridinyl]oxy]-, (3-endo)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 959158-57-7 CMF C20 H21 N3 O

Relative stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 959394-73-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, hydrochloride (1:2), (3-exo)- (CA INDEX NAME)

RN 959394-74-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-pyrrolo[2,3-b]pyridin-5-yl)-3-pyridinyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

IT 959157-93-8P 959158-13-5P 959394-79-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of fused bicycloheterocycle substituted azabicyclic alkane derivs. useful in treatment and prevention of diseases)

RN 959157-93-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1H-indol-5-yl)-3-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959158-13-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-3-pyridinyl)oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 959394-79-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-3-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

ANSWER 2 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

2007:935076 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:300991

TITLE: Preparation of novel chromen-2-one derivatives and

their use as monoamine neurotransmitter re-uptake

inhibitors

INVENTOR(S): Peters, Dan; Redrobe, John Paul; Nielsen, Elsebet

0estergaard

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 27pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
WO	2007	 0936	04		A1	_	2007	0823		WO 2	007-	 EP51	401		2	0070	213
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		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m MT}$										
DRITY	APP	LN.	INFO	. :						DK 2	006-	233			A 2	0060	217

PRIOR

US 2006-774669P P 20060221

MARPAT 147:300991 OTHER SOURCE(S):

GΙ

AΒ The title compds. I [Q = chromen-2-one group which is optionallysubstituted with one or more substituents independently selected from the group consisting of: halo, CF3, OCF3, CN, OH, NH2, NO2, alkoxy, cycloalkoxy, alkyl, cycloalkyl, cycloalkylalkyl, alkenyl and alkynyl; R1 = H or (un) substituted alkyl; R2 and R3 together form CH2CH2 or CH:CH], useful as monoamine neurotransmitter re-uptake inhibitors, were prepared For example, treating exo-7-[(8-tert-butoxycarbonyl-8-azabicyclo[3.2.1]oct-3-yl)oxy]-3-bromochromen-2-one with hydrogen chloride in acetic acid at r.t. for 3 h afforded 99% exo-3-bromo-7-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)oxy]-3-bromochromo-2-one hydrochloride. A number of compds. I were tested for their ability to inhibit the reuptake of the monoamine neurotransmitters dopamine, noradrenaline and serotonin (data given for representative compds. I). In other aspects the invention relates to the use of the compds. I in a method for therapy and to pharmaceutical compns. comprising the compds. I. ΤТ

881387-66-2P 947185-43-5P 947185-44-6P

Relative stereochemistry.

● HCl

RN 947185-43-5 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-44-6 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-45-7 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-chloro-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-46-8 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-4-methyl-2-oxo-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 947185-61-7 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo-(CA INDEX NAME)

Relative stereochemistry.

RN 947185-62-8 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-oxo-(CA INDEX NAME)

RN 947185-63-9 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo-(CA INDEX NAME)

Relative stereochemistry.

RN 947185-64-0 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-chloro-(CA INDEX NAME)

Relative stereochemistry.

RN 947185-65-1 CAPLUS

CN 2H-1-Benzopyran-3-carbonitrile, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-4-methyl-2-oxo- (CA INDEX NAME)

Relative stereochemistry.

RN 947185-83-3 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-bromo, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 881387-68-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel chromenone derivs. as monoamine neurotransmitter reuptake inhibitors useful in treatment and prevention of diseases)

RN 881387-68-4 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN L4

ACCESSION NUMBER: 2007:933108 CAPLUS

DOCUMENT NUMBER: 147:301188

Preparation of novel amino alcohol-substituted TITLE:

arylthienopyrimidinones, process for their preparation

and their use as medicaments

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel,

Matthias; Hessler, Gerhard; Haack, Torsten; Lennig,

Petra

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr. SOURCE: PCT Int. Appl., 166pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA.	ATENT NO.				KIND DATE			TE APPLICATION NO.							DATE			
· · · -	2007093365				A2 200			0070823			WO 2007-EP1213					20070213		
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PRIORIT	PRIORITY APPLN. INFO.:					·	·	·	·	DE 2	006-	1020	0600	5007049A 20060215				
OTHER SO	OTHER SOURCE(S):																	

GΙ

H or alkyl; R5 = H, halo, OH , CN, (un)substituted alkoxy, etc.; D = N or CR6; A = bond or 1-8 membered linker; B = H, alkyl, hydroxyalkyl; L = bond or alkylene; Q = (un)saturated bicyclic, tricyclic, spirocyclic ring with 0-3 heteroatoms, or NR7R8 where R7 and R8 independently = H, (un)substituted alkyl, alkoxyalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as MCH antagonists. Thus, e.g., II was prepared by hydrogenation of 6-((Z)-2-ethoxyvinyl)-3-[3-fluoro-4-(2-pyrrolidin-1-ylethoxy)phenyl]-3H-thieno[3,2-d]pyrimidin-4-one (preparation given). In calcium immobilization assays, selected I demonstrated IC50 values ranging from 0.10 - 13.04 μM .

IT 947176-17-2P 947176-33-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel amino alc.-substituted arylthienopyrimidinones as MCH antagonists)

RN 947176-17-2 CAPLUS

CN Thieno[3,2-d]pyrimidin-4(3H)-one, 3-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]phenyl]-6-(4-chlorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HC1

RN 947176-33-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-nitrophenoxy)-, (3-exo)- (CA INDEX NAME)

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:932835 CAPLUS

DOCUMENT NUMBER: 147:301001

TITLE: Preparation of aminoalcohol-substituted

aryldihydroisoquinolinones, process for their

preparation and their use as medicaments

INVENTOR(S): Schwink, Lothar; Stengelin, Siegfried; Gossel,

Matthias; Hessler, Gerhard; Haack, Torsten; Lennig,

DE 2006-102006007048A 20060215

Petra

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr. SOURCE: PCT Int. Appl., 140pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE		APPLICATION NO.						DATE			
WO 2007093366			A1	_	20070823			WO 2007-EP1214						20070213			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BΖ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KM,	KN,
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$										

PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
MARPAT 147:301001

GΙ

$$B-A \longrightarrow X \longrightarrow N \longrightarrow D \longrightarrow C-L-Q$$

AB Title compds. I [D = N, CR5; R1, R2, R3, and R5 independently = H, halo, OH, CF3, alkoxy, etc.; R4 = H, halo, CF3O, alkyl, alkenyl, etc.; A = bond or 1-8 membered linker; B = H, hydroxyalkyl, alkoxyalkyl, etc.; X = S, O,

Ι

ΙI

C(R6)=C(R7); R6 and R7 independently = H, halo, NO2, CN, CO2H, etc.; Y = C(R8)(R9)C(R10)(R11) or C(R12)=C(R13); R8-13 independently = H or alkyl; L = bond or alkylene; Q = NH2, NH-alkyl, (un)saturated (un)substituted bicyclic, tricyclic, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as MCH antagonists. Thus, e.g., II was prepared by alkylation of 2-[3-chloro-4-((1R,3R,5S)-8-methyl-8-azabicyclo[3.2.1]oct-3-yloxy)phenyl]-6-hydroxy-3,4-dihydro-2H-isoquinolin-1-one (preparation given) with 1-iodopropane. In calcium immobilization assays, selected I demonstrated IC50 values ranging from 0.13 - 14.84 $\mu \rm M$.

IT 947234-34-6P 947234-59-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH antagonists)

RN 947234-34-6 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-chlorophenyl]-6-[[(2S)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 947234-59-5 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]phenyl]-3,4-dihydro-6-[[(2S)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

IT 947234-80-2 947234-81-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH
 antagonists)

RN 947234-80-2 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-fluorophenyl]-3, 4-dihydro-6-[[(2R)-tetrahydro-2-furanyl]methoxy]- (CA)

INDEX NAME)

Absolute stereochemistry.

RN 947234-81-3 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]phenyl]-6-[[(2S)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

IT 947234-69-7P 947234-74-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoalc.-substituted aryldihydroisoquinolinones as MCH antagonists)

RN 947234-69-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-4-nitrophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 947234-74-4 CAPLUS

CN 1(2H)-Isoquinolinone, 2-[4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-3-fluorophenyl]-6-[[(2R)-tetrahydro-2-furanyl]methoxy]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN T.4

2007:873791 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:235029

Preparation of 8-azabicyclo[3.2.1]octane derivatives TITLE:

> as monoamine neurotransmitter reuptake inhibitors Napier, Susan Elizabeth; Bingham, Matilda Jane;

Dunbar, Neil Andrew PATENT ASSIGNEE(S): N.V. Organon, Neth.

SOURCE: U.S. Pat. Appl. Publ., 35pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

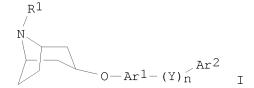
PATENT INFORMATION:

INVENTOR(S):

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007185156	A1	20070809	US 2006-607574		20061201
PRIORITY APPLN. INFO.:			US 2005-741320P	<u> </u>	20051201
OTHER SOURCE(S).	MARPAT	147.235029			

OTHER SOURCE(S):

GT



AΒ The title 8-azabicyclo[3.2.1]octane derivs. [I; wherein R1 = H or C1-5 alkyl; Y = 0, S or O(CH2)m; m = 1, 2; n = 0, 1; Ar1 = each (un) substituted phenylene or pyridylene, said phenylene and pyridylene being 1,3-linked with respect to 0 and when n is 1 with Y and when n is 0 with Ar2; Ar2 = each (un)substituted Ph or 5-6 membered heteroaryl] or pharmaceutically acceptable salts or solvates thereof are prepared These compds. are monoamine neurotransmitter reuptake inhibitors which in vitro inhibit the reuptake of one or more of serotonin, noradrenaline and dopamine in cells stably transfected with the human serotonin, noradrenaline, and dopamine transporters. They are useful for the treatment or prevention of diseases for which the reuptake inhibition of one or more monoamines contributes to the therapeutic effect, e.g. a disease or disorder of the nervous system, both centrally and peripherally which is responsive to monoamine neurotransmission reuptake, more specifically depression, anxiety, pain, panic disorders, attention deficit hyperactivity disorder (ADHD), or obsessive compulsive disorder. Thus, di-Et azodicarboxylate (1.89 mL) was added dropwise to a solution of endo-3-hydroxy-8-azabicyclo[3.2.1]octane-8carboxylic acid tert-Bu ester (2.27 g), Ph3P (3.15 g) and 3-phenoxyphenol (1.93 mL) in 60 mL THF. The reaction mixture was stirred under a nitrogen atmospheric for 72 h at ambient temperature to give, after workup, silica gel chromatog., and treatment with HCl in methanol, 3-exo-(3-phenoxyphenoxy)-8azabicyclo[3.2.1]octane hydrochloride. In vitro test for the inhibition of dopamine and serotonin uptake was performed in Chinese hamster ovary cells expressing the human dopamine transporter (hDAT) or the human serotonin transporter (hSERT) and in vitro test for the inhibition of noradrenaline uptake was performed in Madin Darby canine kidney cells (MDCK) expressing the human noradrenaline transporter (hNET). 3-Exo-(3-chloro-5-phenoxyphenoxy)-8-azabicyclo[3.2.1]octane showed pEC50 of >7, 6-7, and <6 for hDAT, hNET, and hSERT, resp. ΤТ

939788-79-1P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-4-

Relative stereochemistry.

ΙT 817195-02-1P, 3-exo-[(Dibenzofuran-2-yl)oxy]-8azabicyclo[3.2.1]octane 939788-34-8P, 3-exo-(3-Phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-35-9P, 3-exo-[4-Chloro-3-(4fluorophenoxy)phenoxy]-8-azabicyclo[3.2.1]octane 939788-36-0P, 3-exo-[(5-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-37-1P, 3-exo-[(5-Bromobiphenyl-3-yl)oxy]-8azabicyclo[3.2.1]octane 939788-38-2P, exo-5-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-3-carbonitrile 939788-39-3P , 3-exo-([1,1';3',1'']Terphenyl-5'-yloxy)-8-azabicyclo[3.2.1]octane 939788-40-6P, 3-exo-[(5-Fluorobiphenyl-3-yl)oxy]-8azabicyclo[3.2.1]octane 939788-41-7P, 3-exo-[(6-Fluorobiphenyl-3yl)oxy]-8-azabicyclo[3.2.1]octane 939788-42-8P, 3-exo-[(Biphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-43-9P 3-exo-[(6-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-44-0P, 3-exo-(4-Chloro-3-phenoxyphenoxy)-8azabicyclo[3.2.1]octane 939788-45-1P, 3-exo-(2-Chloro-5phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-46-2P, 3-exo-[(4-Bromobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-47-3P, 3-exo-[(6-Bromobiphenyl-3-yl)oxy]-8azabicyclo[3.2.1]octane 939788-48-4P, 3-exo-(4-Bromo-3phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-49-5P, exo-5-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-2-carbonitrile 939788-50-8P, 3-exo-(3-Phenethyloxyphenoxy)-8azabicyclo[3.2.1]octane 939788-51-9P, 3-exo-[[3-(Thiophen-2yl)phenyl]oxy]-8-azabicyclo[3.2.1]octane 939788-53-1P, 3-exo-[3-(3-Chlorophenoxy)-4-fluorophenoxy]-8-azabicyclo[3.2.1]octane 939788-54-2P, 3-exo-[3-(3,4-Dichlorophenoxy)-4-fluorophenoxy]-8azabicyclo[3.2.1]octane 939788-55-3P, 3-exo-[3-(4-Chlorophenoxy)-4-fluorophenoxy]-8-azabicyclo[3.2.1]octane 939788-56-4P, 3-exo-[4-Fluoro-3-(3-methoxyphenoxy)phenoxy]-8-azabicyclo[3.2.1]octane 939788-57-5P, exo-3-[5-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-2fluorophenoxy]benzonitrile 939788-58-6P, exo-3-[3-[(Pyridin-3yl)oxy]phenoxy]-8-azabicyclo[3.2.1]octane 939788-59-7P, 3-exo-[(6-Phenylpyridin-2-yl)oxy]-8-azabicyclo[3.2.1]octane 939788-60-0P, 3-exo-[(2-Phenylpyridin-4-yl)oxy]-8azabicyclo[3.2.1]octane 939788-61-1P, 3-exo-[(4-Phenylpyridin-2yl)oxy]-8-azabicyclo[3.2.1]octane 939788-62-2P, 3-exo-[[3-(Pyridin-3-yl)phenyl]oxy]-8-azabicyclo[3.2.1]octane 939788-63-3P, exo-1-[3'-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-4-yl]ethanone 939788-64-4P, 3-exo-[(4'-Trifluoromethylbiphenyl-3-

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yl)oxy]-8-azabicyclo[3.2.1]octane 939788-65-5P,
3-exo-[(4-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-66-6P, 3-exo-[(2'-Chlorobiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-67-7P, 3-exo-[(3'-Chlorobiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-68-8P,
3-exo-[(4'-Chlorobiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-69-9P, 3-exo-[(2'-Fluorobiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-70-2P, 3-exo-[(4'-Fluorobiphenyl-
3-v1)oxv]-8-azabicyclo[3.2.1]octane 939788-71-3P,
3-exo-[(2'-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-72-4P, 3-exo-(2-Fluoro-3-methoxy-5-phenoxyphenoxy)-8-
azabicyclo[3.2.1]octane 939788-73-5P, 3-exo-[[3'-
(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-azabicyclo[3.2.1]octane
939788-74-6P, 3-exo-[(2'-Methylbiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-75-7P, 3-exo-[(3'-Methylbiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-76-8P,
3-exo-(3-Phenoxy-4-trifluoromethylphenoxy)-8-azabicyclo[3.2.1]octane
939788-77-9P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-2-
carbonitrile 939788-78-0P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-
yl)oxy]biphenyl-3-carbonitrile 939788-80-4P,
3-exo-(3-Phenoxy-5-trifluoromethylphenoxy)-8-azabicyclo[3.2.1]octane
939788-81-5P, 3-exo-(4-Methyl-3-phenoxyphenoxy)-8-
azabicyclo[3.2.1]octane 939788-82-6P, 3-exo-(3-Chloro-5-
phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 939788-83-7P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-5-phenoxybenzonitrile
939788-84-8P, 3-exo-[(3'-Fluorobiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-85-9P, 3-exo-[(3'-
Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-86-0P, 3-exo-[[4'-(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-
azabicyclo[3.2.1]octane 939788-87-1P, 3-exo-[(2'-Methoxybiphenyl-
3-y1)oxy]-8-azabicyclo[3.2.1]octane 939788-88-2P,
3-exo-[(3'-Methoxybiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939788-90-6P, 3-exo-[(4'-Methoxybiphenyl-3-yl)oxy]-8-
azabicyclo[3.2.1]octane 939788-93-9P, 3-exo-[(4-Methylbiphenyl-3-
yl)oxy]-8-azabicyclo[3.2.1]octane 939788-94-0P,
exo-3'-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-2'-fluorobiphenyl-4-carbonitrile
939788-95-1P, exo-3-[[2'-(Trifluoromethoxy)biphenyl-3-yl]oxy]-8-
azabicyclo[3.2.1]octane 939788-98-4P, 3-exo-[(6-Methylbiphenyl-3-
yl)oxy]-8-azabicyclo[3.2.1]octane 939788-99-5P,
3-exo-[(6-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939789-00-1P, exo-3-[3-Fluoro-5-(pyridin-4-yl)phenoxy]-8-
azabicyclo[3.2.1]octane 939789-01-2P, exo-3-[3-Chloro-5-(pyridin-
4-y1)phenoxy]-8-azabicyclo[3.2.1]octane 939789-02-3P,
exo-3-[4-Chloro-3-[(pyridin-3-yl)oxy]phenoxy]-8-azabicyclo[3.2.1]octane
939789-03-4P, exo-3-[4-Methyl-3-(pyridin-4-yl)phenoxy]-8-
azabicyclo[3.2.1]octane 939789-04-5P, exo-5-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]biphenyl-3,4'-dicarbonitrile
939789-05-6P, exo-3'-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5'-
chlorobiphenyl-4-carbonitrile 939789-07-8P, 3-exo-[(4,6-
Diphenylpyridin-2-yl)oxy]-8-azabicyclo[3.2.1]octane 939789-08-9P
, exo-4-[6-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-4-chloropyridin-2-
yl]benzonitrile 939789-09-0P, exo-4-[2-[(8-Azabicyclo[3.2.1]oct-
3-yl)oxy]-6-chloropyridin-4-yl]benzonitrile 939789-10-3P,
3-exo-[[3-(Pyridin-4-yl)phenyl]oxy]-8-azabicyclo[3.2.1]octane
939789-11-4P, exo-4-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]pyridin-2-
yl]benzonitrile 939789-12-5P, exo-2-[4-[(8-Azabicyclo[3.2.1]oct-
3-yl)oxy]-6-chloropyridin-2-yl]benzonitrile 939789-13-6P,
exo-2-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-4-chloropyridin-2-
yl]benzonitrile 939789-14-7P, exo-2-[6-[(8-Azabicyclo[3.2.1]oct-
3-yl)oxy]-4-chloropyridin-2-yl]benzamide 939789-15-8P,
exo-2-[2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-chloropyridin-4-
yl]benzonitrile 939789-16-9P, exo-2-[6-[(8-Azabicyclo[3.2.1]oct-
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3-yl)oxy]pyridin-2-yl]benzonitrile 939789-17-0P,
exo-4-[2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]pyridin-4-yl]benzonitrile
939789-18-1P, exo-4-[4-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]pyridin-2-
yl]benzonitrile 939789-19-2P, exo-3-[(4,5-Difluorobiphenyl-3-
yl)oxy]-8-azabicyclo[3.2.1]octane 939789-20-5P,
exo-3-[(5-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
939789-21-6P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-6-
phenylisonicotinonitrile 939789-22-7P, exo-2-[(8-
Azabicyclo[3.2.1]oct-3-v1)oxy]-6-(4-cyanophenyl)isonicotinonitrile
939789-23-8P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-(2-3-yl)oxy]-6-(2-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-yl)oxy]-6-(3-3-
cyanophenyl)isonicotinonitrile 939789-24-9P,
trifluoromethylphenyl)isonicotinonitrile 939789-25-0P,
exo-2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-(2-
methoxyphenyl)isonicotinonitrile 939789-26-1P,
exo-2-[6-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-4-chloropyridin-2-yl]benzoic
acid 939789-27-2P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-6-(3-yl)oxy]
fluorophenyl)isonicotinonitrile 939789-28-3P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(3-fluoropyridin-2-yl)oxy]
yl)benzonitrile 939789-29-4P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-
y1)oxy]-5-(3-chloropyridin-2-y1)benzonitrile 939789-30-7P,
exo-6-[3-[(8-Azabicyclo[3.2.1]oct-3-y1)oxy]-5-cyanophenyl]nicotinonitrile
939789-31-8P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-[3-
(trifluoromethyl)pyridin-2-yl]benzonitrile 939789-32-9P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(pyridin-2-yl)benzonitrile
939789-33-0P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(3,5-
dichloropyridin-2-yl)benzonitrile 939789-34-1P,
exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(3-methoxypyridin-2-yl)oxy]
yl)benzonitrile 939789-35-2P, exo-N-[2-[3-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]-5-cyanophenyl]pyridin-3-yl]acetamide
939789-36-3P, exo-2-[3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-
cyanophenyl]nicotinonitrile 939789-37-4P, exo-3-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(pyrimidin-2-yl)benzonitrile
939789-38-5P, exo-3-[(8-Azabicyclo[3.2.1]oct-3-yl)oxy]-5-
(Pyrimidin-5-y1) benzonitrile 939789-39-6P, exo-3-[(8-
Azabicyclo[3.2.1]oct-3-yl)oxy]-5-(isoquinolin-1-yl)benzonitrile
939789-40-9P, 3-exo-[(5-Chloro-6-phenoxypyridin-2-yl)oxy]-8-
azabicyclo[3.2.1]octane 939789-41-0P, 3-exo-[(6-Phenoxypyridin-2-
yl)oxy]-8-azabicyclo[3.2.1]octane 939960-38-0P,
3-endo-(3-Phenoxyphenoxy)-8-azabicyclo[3.2.1]octane 945565-28-6P
, 3-exo-(3-Phenoxyphenoxy)-8-azabicyclo[3.2.1]octane hydrochloride
945565-29-7P, 3-exo-(4-Fluoro-3-phenoxyphenoxy)-8-
azabicyclo[3.2.1]octane trifluoroacetate 945565-30-0P,
3-exo-[(4'-Trifluoromethylbiphenyl-3-yl)oxy]-8-azabicyclo[3.2.1]octane
trifluoroacetate 945565-31-1P, 3-exo-[(5-Phenylpyridin-3-yl)oxy]-
8-azabicyclo[3.2.1]octane 945565-32-2P, 3-exo-[(6-Methylbiphenyl-
3-yl)oxy]-8-azabicyclo[3.2.1]octane trifluoroacetate 945565-33-3P***,
3-exo-[(4-Chloro-6-Phenylpyridin-2-yl)oxy]-8-azabicyclo[3.2.1]octane
                             ***945565-35-5P, exo-2-[(8-Azabicyclo[3.2.1]oct-3-
trifluoroacetate
yl)oxy]-6-phenylisonicotinonitrile trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (preparation of 8-azabicyclo[3.2.1] octane derivs. as monoamine
    neurotransmitter reuptake inhibitors)
817195-02-1 CAPLUS
8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX
NAME)
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Relative stereochemistry.

RN

CN

RN 939788-34-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-35-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(4-fluorophenoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-36-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-37-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-38-2 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-39-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1':3',1''-terphenyl]-5'-yloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-40-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-41-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-42-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1'-biphenyl]-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-43-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-44-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-45-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-46-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-47-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-48-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-49-5 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-50-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-phenylethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-51-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-thienyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-53-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-54-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3,4-dichlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-55-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-56-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-fluoro-3-(3-methoxyphenoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-57-5 CAPLUS

CN Benzonitrile, 3-[5-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-fluorophenoxy]- (CA INDEX NAME)

Relative stereochemistry.

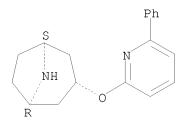
RN 939788-58-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

RN 939788-59-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.



RN 939788-60-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2-phenyl-4-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-61-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-62-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939788-63-3 CAPLUS

CN Ethanone, 1-[3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-64-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-65-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-66-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA

INDEX NAME)

Relative stereochemistry.

RN 939788-67-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-68-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-69-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-70-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-71-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-72-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-3-methoxy-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-73-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

RN 939788-74-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-75-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-76-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-4-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-77-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-78-0 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-80-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-5-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-81-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methyl-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-82-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-83-7 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-phenoxy- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-84-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-85-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

RN 939788-86-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-87-1 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methoxy[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

RN 939788-93-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-94-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-2'-fluoro- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-95-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-98-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA (CA)

INDEX NAME)

Relative stereochemistry.

RN 939788-99-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-00-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-fluoro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-01-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-chloro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939789-02-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-03-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-methyl-3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-04-5 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-05-6 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-5'-chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-07-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,6-diphenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-08-9 CAPLUS

CN Benzonitrile, 4-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-09-0 CAPLUS

CN Benzonitrile, 4-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl]- (CA INDEX NAME)

RN 939789-10-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-11-4 CAPLUS

CN Benzonitrile, 4-[6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-12-5 CAPLUS

CN Benzonitrile, 2-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-2-pyridinyl]- (CA INDEX NAME)

RN 939789-13-6 CAPLUS

CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-14-7 CAPLUS

CN Benzamide, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-15-8 CAPLUS

CN Benzonitrile, 2-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl]- (CA INDEX NAME)

RN 939789-16-9 CAPLUS

CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-17-0 CAPLUS

CN Benzonitrile, 4-[2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-4-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-18-1 CAPLUS

CN Benzonitrile, 4-[4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl]- (CA INDEX NAME)

RN 939789-19-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,5-difluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-20-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-21-6 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-phenyl- (CA INDEX NAME)

RN 939789-22-7 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(4-cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-23-8 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-24-9 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 939789-25-0 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-methoxyphenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-26-1 CAPLUS

CN Benzoic acid, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-27-2 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(3-fluorophenyl)- (CA INDEX NAME)

RN 939789-28-3 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-fluoro-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-29-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-chloro-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-30-7 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]- (CA INDEX NAME)

RN 939789-31-8 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-32-9 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-33-0 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3,5-dichloro-2-pyridinyl)- (CA INDEX NAME)

RN 939789-34-1 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-methoxy-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-35-2 CAPLUS

CN Acetamide, N-[2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]-3-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-36-3 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]- (CA INDEX NAME)

RN 939789-37-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-38-5 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(5-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-39-6 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(1-isoquinolinyl)- (CA INDEX NAME)

RN 939789-40-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-41-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939960-38-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 945565-28-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

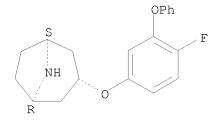
RN 945565-29-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluoro-3-phenoxyphenoxy)-, (3-exo)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939788-52-0 CMF C19 H20 F N O2

Relative stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 945565-30-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939788-64-4 CMF C20 H20 F3 N O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 945565-31-1 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-[(5-phenyl-3-pyridinyl)oxy]- (CA INDEX NAME)

RN 945565-32-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939788-98-4 CMF C20 H23 N O

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

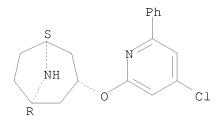
RN 945565-33-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-6-phenyl-2-pyridinyl)oxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 939789-06-7 CMF C18 H19 C1 N2 O

Relative stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 945565-35-5 CAPLUS

CN 4-Pyridinecarbonitrile, 2-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 945565-34-4 CMF C19 H19 N3 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:761334 CAPLUS

DOCUMENT NUMBER: 147:166196

TITLE: Bicyclic nitrogen compounds as modulators of ghrelin

receptor and their preparation, pharmaceutical compositions and use in the treatment of diseases Burstein, Ethan; Eeg Knapp, Anne; Olsson, Roger;

INVENTOR(S): Burstein, Ethan; Eeg Knapp, Anne; Ol Eskildsen, Jorgen; Ek, Fredrik

PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 481pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.									
	WO 2007079239				A2 200707			0712	WO 2006-US49609						20061229			
WO	2007079239			A3		2007	1101											
	W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	
		KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	
		MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ТJ,	TM,	TN,	TR,	TT,	
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW							
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		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	KΕ,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AP,	EA,	EP,	OA							
US	US 2007213359						20070913 US 200					6187	24	20061229				
PRIORIT	PRIORITY APPLN. INFO.:								US 2005-755714P					P 20051230				
										US 2006-835241P					P 20060802			
OTHER S	THER SOURCE(S):						MARPAT 147:166196											

OTHER SOURCE(S): MARPAT 14/:166196

GΙ

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed herein are compds. of formula I as defined herein, or a pharmaceutically acceptable salt, ester, amide, or prodrug thereof, that modulates the activity of a ghrelin receptor. Disclosed herein are also methods of treating diseases or conditions that comprise administering to a subject in need thereof a therapeutically effective amount of a compound of formula I. Compds. of formula I wherein A is H, halo, CN, (un) substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)aryl, etc.; B is H, (un)substituted alkyl, (un)substituted alkenyl, (un) substituted alkynyl, (un) substituted (hetero) aryl, etc.; Y is CR3 and N R2 and R2a are independently H, CN, (un)substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un) substituted (hetero)aryl, etc; R3, R3a, R3b, and R3c are independently H, halo, CN, NO2, (un) substituted alkyl, (un) substituted alkenyl, (un) substituted alkynyl, (un)substituted (hetero)aryl, etc.; L is (un)substituted alkylene; L can be taken together with R3 to form a cycloalkyl, cycloalkenyl, cycloalkynyl and heteroalicyclyl; and their solvates, polymorphs, metabolites, pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by amination of 1-[1-(3-chlorophenoxy)-7-methoxy-1H-indol-3-yl]ethanone with

4-(4-fluorophenoxy) piperidine hydrochloride; the resulting compound II was added oxalic acid to give the corresponding salt. All the invention compds. were evaluated for their ghrelin receptor modulatory activity (some data given).

IT 652148-02-2P 845291-48-7P 944086-54-8P

RL: CRT (Combinatorial reactant); RCT (Reactant); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic nitrogen compds. as modulators of ghrelin receptors for treating various diseases)

RN 652148-02-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 845291-48-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 944086-54-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

IT 944086-73-1

RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)

(starting material; preparation of bicyclic nitrogen compds. as modulators of ghrelin receptors for treating various diseases)

RN 944086-73-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)- (CA INDEX NAME)

L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

2007:619810 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:53047

TITLE: Preparation of 8-azabicyclo[3.2.1]octane derivatives

useful as mono-amine reuptake inhibitors

INVENTOR(S): Napier, Susan Elizabeth; Bingham, Matilda Jane;

> Dunbar, Neil Andrew N.V. Organon, Neth. PCT Int. Appl., 68pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

SOURCE:

PF	PATENT NO.						DATE	APPLICATION NO.							DATE			
WC	2007	0630	 71		A1 20070607			1	——— WO 2	 006-:	EP69	20061129						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	
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		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
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		GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM											
PRIORIT	PRIORITY APPLN. INFO.:						EP 2005-111578								A 20051201			
OTHER S	MARPAT 147:53047																	

OTHER SOURCE(S):

The present invention relates to a 8-azabicyclo[3.2.1]octane derivs. I, AB wherein R1 is H or C1-5-alkyl; Y is O, S, O(CH2)m; m is 1 or 2; n is 0 or 1; Ar1 is phenylene or pyridylene, said phenylene and pyridylene being 1,3-linked with respect to O and when n is 1 with Y and when n is 0 with Ar2, said phenylene or pyridylene being optionally substituted with one or two substituents independently selected from halogen, C1-5-alkyl, C1-5-alkoxy, C3-6-cycloalkyl, C2-5-alkenyl, C2-5-alkynyl, Ph, CN and hydroxy, wherein said C1-5-alkyl and C1-5-alkoxy are optionally substituted with one to three halogens and wherein the oxygen of said hydroxy is optionally bonded to Ar2 to form a 5-membered ring; Ar2 is Ph or a 5-6 membered heteroaryl, said Ph or 5-6 membered heteroaryl being optionally substituted with one to three substituents independently selected from halogen, C1-5-alkyl, C1-5-alkoxy, CN, CONR2R3, CO2R4, NHCOR5 and hydroxy, wherein said C1-5-alkyl and C1-5-alkoxy are optionally substituted with one to three halogens and wherein the oxygen of said hydroxy is optionally bonded to Ar1 to form a 5-membered ring; R2-R4 are independently H or C1-5-alkyl and R5 is C1-5-alkyl, or a pharmaceutically acceptable salt or solvate thereof for the treatment or prevention of

as mono-amine reuptake inhibitors. The in vitro test for the inhibition of dopamine and serotonin uptake was performed in Chinese Hamster Ovary cells expressing the human dopamine transporter (hDAT) or the human serotonin transporter (hSERT). The in vitro test for the inhibition of noradrenaline uptake was performed in Madin Darby Canine Kidney Cells (MDCK) expressing the human noradrenaline transporter (hNET). 817195-02-1P 939788-34-8P 939788-35-9P 939788-36-0P 939788-37-1P 939788-38-2P 939788-39-3P 939788-40-6P 939788-41-7P 939788-42-8P 939788-43-9P 939788-44-0P 939788-45-1P 939788-46-2P 939788-47-3P 939788-48-4P 939788-49-5P 939788-50-8P 939788-51-9P 939788-52-0P 939788-53-1P 939788-54-2P 939788-55-3P 939788-56-4P 939788-57-5P 939788-58-6P 939788-59-7P 939788-60-0P 939788-61-1P 939788-62-2P 939788-63-3P 939788-64-4P 939788-65-5P 939788-66-6P 939788-67-7P 939788-68-8P 939788-69-9P 939788-70-2P 939788-71-3P 939788-72-4P 939788-73-5P 939788-74-6P 939788-75-7P 939788-76-8P 939788-77-9P 939788-78-0P 939788-79-1P 939788-80-4P 939788-81-5P 939788-82-6P 939788-83-7P 939788-84-8P 939788-85-9P 939788-86-0P 939788-87-1P 939788-88-2P 939788-90-6P 939788-91-7P 939788-92-8P 939788-93-9P 939788-94-0P 939788-95-1P 939788-98-4P 939788-99-5P 939789-00-1P 939789-01-2P 939789-02-3P 939789-03-4P 939789-04-5P 939789-05-6P 939789-06-7P 939789-07-8P 939789-08-9P 939789-09-0P 939789-10-3P 939789-11-4P 939789-12-5P 939789-13-6P 939789-14-7P 939789-15-8P 939789-16-9P 939789-17-0P 939789-18-1P 939789-19-2P 939789-20-5P 939789-21-6P 939789-22-7P 939789-23-8P 939789-24-9P 939789-25-0P 939789-26-1P 939789-27-2P 939789-28-3P 939789-29-4P 939789-30-7P 939789-31-8P 939789-32-9P 939789-33-0P 939789-34-1P 939789-35-2P 939789-36-3P 939789-37-4P 939789-38-5P 939789-39-6P 939789-40-9P 939789-41-0P 939960-38-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 8-azabicyclo[3.2.1]octane derivs. useful as mono-amine reuptake inhibitors) RN 817195-02-1 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX

depression or pain. The present invention are useful for the manufacture of a medicament for the treatment or prevention of a disease or disorder of the nervous system, both centrally and peripherally which is responsive to

phenoxyphenoxy)-8-azabicyclo[3.2.1]octane was prepared and tested in vitro

monoamine neurotransmission reuptake. Thus, exo-3-(3-chloro-5-

Relative stereochemistry.

NAME)

RN 939788-34-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-35-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(4-fluorophenoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-36-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-37-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-38-2 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-39-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1':3',1''-terphenyl]-5'-yloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-40-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-41-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-42-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-([1,1'-biphenyl]-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-43-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-44-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-45-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-46-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-47-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-48-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-49-5 CAPLUS
CN [1,1'-Biphenyl]-2-carbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-50-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-phenylethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-51-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(2-thienyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-52-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluoro-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-53-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-54-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3,4-dichlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-55-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-chlorophenoxy)-4-fluorophenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-56-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-fluoro-3-(3-methoxyphenoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-57-5 CAPLUS

CN Benzonitrile, 3-[5-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-fluorophenoxy]- (CA INDEX NAME)

RN 939788-58-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-59-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-60-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2-phenyl-4-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-61-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

RN 939788-62-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(3-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-63-3 CAPLUS

CN Ethanone, 1-[3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-64-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-65-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

RN 939788-66-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-67-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-68-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-chloro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-69-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-70-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-71-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-72-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-fluoro-3-methoxy-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 939788-73-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-74-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-75-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-76-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-4-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

RN 939788-77-9 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-78-0 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-79-1 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-80-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-phenoxy-5-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-81-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methyl-3-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-82-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-5-phenoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-83-7 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-phenoxy- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-84-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3'-fluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-85-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-86-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[4'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-87-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(2'-methoxy[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-90-6 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-[(4'-methoxy[1,1'-biphenyl]-3-yl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-91-7 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-[(5-phenyl-3-pyridinyl)oxy]-, exo- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-93-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-94-0 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-2'-fluoro- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-95-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[2'-(trifluoromethoxy)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-98-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methyl[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939788-99-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-00-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-fluoro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-01-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-chloro-5-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

RN 939789-02-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(3-pyridinyloxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-03-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-methyl-3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-04-5 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarbonitrile, 5-(8-azabicyclo[3.2.1]oct-3-yloxy)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-05-6 CAPLUS

CN [1,1'-Biphenyl]-4-carbonitrile, 3'-(8-azabicyclo[3.2.1]oct-3-yloxy)-5'-chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-06-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-6-phenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-07-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,6-diphenyl-2-pyridinyl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-08-9 CAPLUS

CN Benzonitrile, 4-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

RN 939789-09-0 CAPLUS

CN Benzonitrile, 4-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-10-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(4-pyridinyl)phenoxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-11-4 CAPLUS

CN Benzonitrile, 4-[6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl]- (CA INDEX NAME)

RN 939789-12-5 CAPLUS
CN Benzonitrile, 2-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-2-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-13-6 CAPLUS
CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl](CA INDEX NAME)

Relative stereochemistry.

RN 939789-14-7 CAPLUS
CN Benzamide, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl](CA INDEX NAME)

RN 939789-15-8 CAPLUS

CN Benzonitrile, 2-[2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-chloro-4-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-16-9 CAPLUS

CN Benzonitrile, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-17-0 CAPLUS

CN Benzonitrile, 4-[2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-4-pyridinyl]- (CA INDEX NAME)

RN 939789-18-1 CAPLUS

CN Benzonitrile, 4-[4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-19-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4,5-difluoro[1,1'-biphenyl]-3-yl)oxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-20-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)[1,1'-biphenyl]-3-yl]oxy]- (CA INDEX NAME)

RN 939789-21-6 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-phenyl- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-22-7 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(4-cyanophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-23-8 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-cyanophenyl)- (CA INDEX NAME)

RN 939789-24-9 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-25-0 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(2-methoxyphenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-26-1 CAPLUS

CN Benzoic acid, 2-[6-(8-azabicyclo[3.2.1]oct-3-yloxy)-4-chloro-2-pyridinyl]- (CA INDEX NAME)

RN 939789-27-2 CAPLUS

CN 4-Pyridinecarbonitrile, 2-(8-azabicyclo[3.2.1]oct-3-yloxy)-6-(3-fluorophenyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-28-3 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-fluoro-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-29-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-chloro-2-pyridinyl)- (CA INDEX NAME)

RN 939789-30-7 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-31-8 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-32-9 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyridinyl)- (CA INDEX NAME)

RN 939789-33-0 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3,5-dichloro-2-pyridinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-34-1 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(3-methoxy-2-pyridinyl)-(CA INDEX NAME)

Relative stereochemistry.

RN 939789-35-2 CAPLUS

CN Acetamide, N-[2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]-3-pyridinyl]- (CA INDEX NAME)

RN 939789-36-3 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-cyanophenyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-37-4 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(2-pyrimidinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-38-5 CAPLUS

CN Benzonitrile, 3-(8-azabicyclo[3.2.1]oct-3-yloxy)-5-(5-pyrimidinyl)- (CA INDEX NAME)

RN 939789-39-6 CAPLUS

CN Benzonitrile, 3-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(1-isoquinolinyl)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-40-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 939789-41-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-phenoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

RN 939960-38-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-phenoxyphenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

3

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:538411 CAPLUS

DOCUMENT NUMBER: 146:514790

TITLE: 8-azabicyclo[3.2.1]octane derivatives and their use as

monoamine neurotransmitter reuptake inhibitors

INVENTOR(S): Peters, Dan; Eriksen, Birgitte L.; Nielsen, Elsebet

Oestergaard; Redrobe, John Paul; Olsen, Gunnar M.

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 22pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	TENT :	KIND		DATE			APPL	ICAT	ION :	DATE							
WO	WO 2007054531					_	20070518			 WO 2	 006-:	 EP68		20061109			
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,
		ΚP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	MX,	MY,	MΖ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
		RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
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		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$ ext{ML}$,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
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		KG,	KΖ,	MD,	RU,	ΤJ,	$_{ m TM}$										

PRIORITY APPLN. INFO.:

DK 2005-1565 A 20051111 US 2005-736330P P 20051115

OTHER SOURCE(S): MARPAT 146:514790

AB The invention discloses 8-aza-bicyclo[3.2.1] octane derivs. useful as monoamine neurotransmitter reuptake inhibitors. The invention also discloses the use of these compds. in a method for therapy, as well as pharmaceutical compns. comprising these compds. Compound preparation is included.

IT 936701-50-7 936701-50-7D, isomers and salts

936701-51-8 936701-51-8D, isomers and salts

936701-52-9 936701-52-9D, isomers and salts

936701-53-0 936701-53-0D, isomers and salts

936701-54-1 936701-54-1D, isomers and salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azabicyclooctane derivative monoamine neurotransmitter reuptake inhibitors)

RN 936701-50-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 936701-50-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 936701-51-8 CAPLUS

CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-51-8 CAPLUS

CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-52-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-naphthalenyl)oxy]-, (3-exo)-(CA INDEX NAME)

Relative stereochemistry.

RN 936701-52-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

RN 936701-53-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1-methylethoxy)-2-naphthalenyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-53-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1-methylethoxy)-2-naphthalenyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-54-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(7-methoxy-2-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 936701-54-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(7-methoxy-2-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

IT 936701-59-6P 936701-60-9P 936701-61-0P

936701-62-1P 936701-63-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (azabicyclooctane derivative monoamine neurotransmitter reuptake inhibitors)

RN 936701-59-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-naphthalenyl)oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 936701-60-9 CAPLUS

CN 2-Naphthalenol, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 936701-61-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-naphthalenyl)oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

● HCl

RN 936701-62-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[6-(1-methylethoxy)-2-naphthalenyl]oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 936701-63-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(7-methoxy-2-naphthalenyl)oxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:405401 CAPLUS

DOCUMENT NUMBER: 146:421857

TITLE: Preparation of bridged cyclic amine compounds as pest

control agents

INVENTOR(S): Hamamoto, Isami; Takahashi, Jun; Yano, Makio;

Kawaguchi, Masahiro; Hanai, Daisuke; Iwasa, Takao

PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan

SOURCE: PCT Int. Appl., 98pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

GΙ

PAI	PATENT NO.						KIND DATE				ICAT		DATE						
WO	WO 2007040282					A1 20070412			WO 2006-JP320133						20061006				
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,		
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		MW,	MX,	MY,	MZ,	NA,	NG,	ΝΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,		
		RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,		
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,		
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,		
		KG,	KΖ,	MD,	RU,	ΤJ,	TM												
PRIORITY	PRIORITY APPLN. INFO.:									JP 2	005-	2941.	A 20051006						
						JP 2	005-	2941.		A 20051006									
									JP 2005-297803						A 20051012				
						JP 2005-297804						A 20051012							
						JP 2006-16877						A 20060125							
										JP 2	006-	1823	14		A 2	0060	630		
OTHER SC		MARPAT 146:421857																	

Ι

AB Title compds. I [Cy1 = (un) substituted aromatic ring; X = oxygen, sulfur, (un) substituted nitrogen, etc.; R1a and R2a, R1a and R4a, R2a and R3a, or R3a and R4a may combine to form a saturated ring.; R1a-R4a, R1b-R4b and R5 = H, hydroxy, halo, etc.; Cy2 = (un) substituted aromatic ring; when R1a and R2a may combine to form saturated ring and Cy1 is a (un) substituted Ph, Cy2 is a (un) substituted aromatic heterocycle.; when Cy1 is a (un) substituted Ph and Cy2 is a pyridin-2-yl, Cy2 is a pyridin-2-yl substituted with one or more cyano groups.], salts or N-oxides thereof were prepared For example, reaction of tropine with 2-chloro-5-trifluoromethylpyridine followed by treatment with 2,2,2-trichloroethyl chloroformate, reduction using Zn/acetic acid and O-arylation with 2-fluoro-5-trifluoromethylbenzaldehyde afforded compound II [R = CHO; R' = CF3]. Compound II [R = OCH2CH2CH3; R' = CF3] controlled two-spotted spider mite by 100%.

IT 866615-17-0P 934182-68-0P 934216-25-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of bridged cyclic amine compds. as pest control agents) 866615-17-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN

● HCl

RN 934182-68-0 CAPLUS

CN Benzoic acid, 2-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-5-(trifluoromethyl)-, 1-methylethyl ester (CA INDEX NAME)

Relative stereochemistry.

RN 934216-25-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (3-endo)- (CA INDEX NAME)

15

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1097510 CAPLUS

DOCUMENT NUMBER: 145:438420

TITLE: Preparation of N-[[(ureido)phenoxy]hetero/aryl]benzami

des and related derivatives as NPY antagonists and their use for treating obesity, and abnormal food

behavior and for controlling food intake

INVENTOR(S): Botez, Iuliana; David-Basei, Christelle; Gourlaoueen,

Nelly; Nicolaie, Eric; Balavoine, Fabrice; Valette,

Gerard; Serradeil-Le Gal, Claudine

PATENT ASSIGNEE(S): Cerep, Fr.

SOURCE: PCT Int. Appl., 430pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

					KIND DATE						ICAT								
WO	2006	1089	65		A2		20061019		,				20060414						
WO	70 2006108965				A3 2007032 AM, AT, AU, A2														
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
		GE,	GH,	${ m GM}$,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΜ,	KN,	KP,	KR,		
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,		
		MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,		
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,		
		VN,	YU,	ZA,	ZM,	ZW													
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,		
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,		
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OTHER SO	TIRCE	(8) •			WO 2006-FR829 W								w Z	0000	414				

OTHER SOURCE(S): MARPAT 145:438420

GΙ

Title compds. R8R9N-L3-A-Ar3(R5R6)-L2-Ar2(R3R4)-L1-Ar1(R1R2)-Z-C(:Y)-X [I; AΒ X = di/alkylamino, hydrazino; Z = O, NH; Ar1 = Ph; Y = O, S; or Y = N, in which case Y, Z, and the Ph to which Z is attached form a benzimidazole or benzoxazole ring; R1, R2 = independently H, halo, OH, etc.; L1 = O, S, alkylene; Ar2 = hetero/aryl, heterocyclyl; R3 = independently H, halo, OH, CF3, OCF3, etc.; R1R2Ar1L1Ar2 = tricycle in which R1R3 = alkylene, L1 = O, S, and Ar2 = Ph; L2 = CONH and derivs., CH2O, OCH2, a bond with provisos; Ar3 = hetero/aryl, heterocyclyl; when L2 = a bond, Ar3 and <math>Ar2 cannot be simultaneously heteroaryl or heterocyclyl; R5, R6 = independently H, halo, OH, alkyl, etc.; A = a bond, O, alkyl(id)ene, CONH, etc. L3 = (un) substituted cyclo/alkylene, bicyclo or polycycloalkyl(id)ene, etc. with proviso; or L3AAr3 = O heterocycle; R8, R9 = independently H, NH2, alkoxy/cyclo/alkyl, heterocyclyl, etc.; or NR8R9 = mono or poylcyclic N heterocycle; including quaternary ammonium compds. containing N+R8R9R10; R10 = alkyl; with provisos; and their pharmaceutically acceptable salts, solvates and hydrates, optical and geometrical isomers and their mixts.] were prepared as neuropeptide Y (NPY) antagonists, particularly selective NPY Y1 subtype antagonists, and their use in therapeutic or prophylactic treatment all NPY involving disorders. Pharmaceutical compns. comprising I and treating methods using them are also disclosed. Thus, II, isolated as HCl salt, was prepared by reacting tropine with 4-fluorobenzonitrile, followed by nitrile hydrolysis, activation of the acid in the presence of TBTU/HOBT in DMF, and reaction with 1-[4-(4-aminophenoxy)-3-ethoxyphenyl]-3-(1-ethylpropyl)urea. III bound specifically to NPY Y1 receptor (IC50 for neuropeptide Y1, Y2, Y4, and Y5 receptors = 1.80 nM, > 10,000 nM, 2620 NM, and > 10,000 nM, resp.). In a test measuring the effects of III on arterial hypertension induced by [Leu31, Pro34] NPY in anesthetized rats, 3 $\mbox{mg/kg}$ III administered orally reduced the blood pressure by .apprx.10 \mbox{mm} Hg after 1.5 h. I are useful for treating diseases characterized by elevated neuropeptide Y activity such as obesity, and abnormal food behavior, and for controlling food intake. ΙT 912945-09-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912945-09-6 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]- (CA INDEX NAME)

Relative stereochemistry.

IT 912945-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912945-10-9 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]-N-(2-methoxyethyl)- (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-B

IT 912947-05-8P 912949-97-4P 912950-24-4P

912950-28-8P 912963-22-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of NPY antagonists and their use for treating obesity, and abnormal food behavior and for controlling food intake)

RN 912947-05-8 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-[4-[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 912945-09-6 CMF C33 H40 N4 O5

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 912949-97-4 CAPLUS

CN Benzoic acid, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 912950-24-4 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-(2-methoxy-4-nitrophenoxy)phenyl]-N-methyl- (CA INDEX NAME)

Relative stereochemistry.

RN 912950-28-8 CAPLUS

CN Benzamide, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]-N-[4-(2-methoxy-4-nitrophenoxy)phenyl]-N-propyl- (CA INDEX NAME)

Relative stereochemistry.

RN 912963-22-5 CAPLUS

CN Benzonitrile, 4-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-yloxy)-N-[4-[4-[[[(1-ethylpropyl)amino]carbonyl]amino]-2-methoxyphenoxy]phenyl]- (CA INDEX NAME)

L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:700029 CAPLUS

DOCUMENT NUMBER: 145:167108

TITLE: Preparation of novel 8-aza-bicyclo[3.2.1]octane

derivatives and their use as monoamine neurotransmitter re-uptake inhibitors

INVENTOR(S): Peters, Dan; Dahl, Bjarne H.; Olsen, Gunnar M.;

Nielsen, Elsebet Oestergaard; Scheel-Krueger, Joergen;

Redrobe, John Paul

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE		
· · · -	2006075004 2006075004					2006	– .		WO 2	006-	EP50	20060111						
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MΖ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
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		VN,	YU,	ZA,	ZM,	ZW												
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		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	KΕ,	LS,	MW,	${ m MZ}$,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	KΖ,	MD,	RU,	ΤJ,	TM											
EP	1838	705			A2		2007	1003		EP 2	006-	7035	75	20060111				
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR		
US	2008	0042	52		A1		2008	0103		US 2	007-	7943	65		2	, CA, CH, , GB, GD, , KP, KR, , MW, MX, , SD, SE, , UZ, VC, , HU, IE, , BF, BJ, , BW, GH, , AZ, BY, 20060111 , HU, IE, , TR 20070628 20050113		
PRIORIT	RIORITY APPLN. INFO.:									DK 2	005-	68		A 20050113				
										US 2	005-	6435	90P	P 20050114				
										WO 2	006-	EP50	143	Ī	W 2	0060	111	
0		<i>(</i> C)					4.5	1601		WO 2	006-	EP50	143	Ī	w 2	0060	111	

OTHER SOURCE(S): MARPAT 145:167108

 $x-R^2$

R1-N

AB The title compds. I [R1 = CH2CO2Et, CH2CONH2, CH2(pyridinyl), etc.; X = 0, S, NR3; R3 = H, alkyl, C(0)R4, SO2R4' R4 = H, alkyl; R2 = (un)substituted (hetero)aryl], useful as monoamine neurotransmitter re-uptake inhibitors, were prepared Thus, reacting 3-(3,4-dichlorophenoxy)-8-azabicyclo[3.2.1]octane with Et bromoacetate afforded 94% Et [3-(3,4-dichlorophenoxy)-8-azabicyclo[3.2.1]oct-8-yl]acetate. Preferred compds. I show a biol. activity in the submicromolar and micromolar range,

i.e. of from below 1 to about 100 $\mu M.$ The invention also relates to the use of compds. I in a method for therapy and to pharmaceutical compns. comprising the compds. I.

IT 900501-97-5 900501-98-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel azabicyclo[3.2.1]octane derivs. as monoamine neurotransmitter reuptake inhibitors useful for therapy)

RN 900501-97-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)- (CA INDEX NAME)

RN 900501-98-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-2-pyridinyl)oxy]- (CA INDEX NAME)

L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:317352 CAPLUS

DOCUMENT NUMBER: 144:350546

TITLE: Chromen-2-one derivatives as monoamine

neurotransmitter re-uptake inhibitors, their

preparation, pharmaceutical compositions, and use in

therapy

INVENTOR(S): Peters, Dan; Olsen, Gunnar M.; Scheel-Krueger,

Joergen; Nielsen, Elsebet Oestergaard

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE		APPLICATION NO.							DATE						
WO	2006	A1	_	2006	0406		WO	2005-	20050928													
	W: AE, AG, AL,		AM,	ΑT,	AU,	AZ,	BA,	BE	B, BG,	BR,	BW,	BY,	ΒZ,	BZ, CA, CH FI, GB, GD KP, KR, KZ MW, MX, MZ BD, SE, SG JZ, VC, VN GR, HU, IE TR, BF, BJ TG, BW, GH								
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,					
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA	A, MD,	MG,	MK,	MN,	MW,	MX,	MZ,					
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	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	E, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,					
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML	, MR,	NE,	SN,	TD,	ΤG,	BW,	GH,					
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ	Z, TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	BY,					
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AU	AU 2005288914				A1		2006	0406		AU	2005-	2889	14		2							
CA	2582	297			A1									2	0050	928						
EP	1797	880			A1		2007	0620		ΕP	2005-	7921	13		20050928							
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		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PΙ	, PT,	RO,	SE,	SI,	SK,	TR,	HR					
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US	2007	2326	66		A1		2007	1004		US	2007-	6619	66		2	, KR, KZ, , MX, MZ, , SE, SG, , VC, VN, , HU, IE, , BF, BJ, , BW, GH, , AZ, BY, 20050928 20050928 20050928 , HU, IE, , TR, HR 20050928						
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OTHER SOURCE(S): MARPAT 144:350546

GI

AΒ The invention relates to chromen-2-one derivs. I, which are monoamine neurotransmitter re-uptake inhibitors. In compds. I, R1 is H or (un) substituted alkyl; R2, R3, R4, and R5 are each independently selected from H and alkyl, or R2 and R4 together form -(CH2)p-, where p is 1-3; m is 0-2; n is 0-2; X is O or (un)substituted N; and Q represents (un) substituted chromen-2-one; including isomers and pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I with at least one pharmaceutically acceptable carrier, excipient or diluent, as well as to the use of the compns. for the treatment, prevention, or alleviation of a disease, disorder, or condition responsive to inhibition of monoamine neurotransmitter re-uptake in the central nervous system. Mitsunobu reaction of tropine (II) with 7-hydroxycoumarine gave chromenone III. Preferred compds. of the invention express activity from below 1 μM to about 100 μM . ΙT 881387-70-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of chromenone derivs. as monoamine neurotransmitter re-uptake inhibitors)

RN 881387-70-8 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

IT 881387-66-2P 881387-68-4P 881387-69-5P

RL: PAC (Pharmacological activity): SPN (Synthe

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chromenone derivs. as monoamine neurotransmitter re-uptake inhibitors)

RN 881387-66-2 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (1:1) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 881387-68-4 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 881387-69-5 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, hydrochloride (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN L4

2005:1103769 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:386926

TITLE: Preparation of N-(2-pyridyl)cyclic amine derivatives

as pest control agents

Hamamoto, Isami; Takahashi, Jun; Yano, Makio; Hanai, INVENTOR(S):

Daisuke; Iwasa, Takao

Nippon Soda Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.			KIN	D	DATE								D.	ATE		
WO	2005	 0953	80		A1	_	2005	1013	,			 JP68			2	0050	330	
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
							TT,											ZW
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		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	
		MR,	NE,	SN,	TD,	TG												
AU	2005	A1		2005	1013		AU 2	005-	2282	89		2	0050	330				
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	R:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,	
		HR,	LV,	MK,	YU													
CN	1938	292			Α		2007	0328										
BR	2005	0092	92		Α		2007	0918		BR 2	005-	9292			2	0050	330	
	2006									IN 2	006-	KN26	52		2	0060	913	
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KR	8044	52			В1		2008	0220										
IORIT	Y APP	LN.	INFO	.:						JP 2	004-	1066	68		A 2	0040	331	
										JP 2	004-	3740	07	1	A 2	0041	224	
									,	WO 2	005-	JP68	87	1	W 2	0050	330	
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OTHER SOURCE(S): MARPAT 143:386926 GΙ

AΒ The title compds. (I) [R1 = HO, halo, cyano, NO2, CHO, each (un) substituted C1-6 alkyl, C1-6 alkoxy, NH2, or 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S, C2-6 alkenyl, C2-6 alkynyl, C1-6 haloalkyl, C1-6 haloalkenyl, C1-6 alkylcarbonyl, C1-6 haloalkoxy, C2-6 alkenyloxy, C2-6 haloalkenyloxy, C2-6 alkynyloxy, C1-6 alkylcarbonyloxy, C1-6 alkoxycarbonyloxy, C1-6 alkylthiocarbonyloxy, C1-6 alkylthio, C1-6 haloalkylthio, C1-6 alkylsulfinyl, C1-6 haloalkylsulfinyl, C1-6 alkylsulfonyl, etc.; m = 0-5; R2 = halo, NO2, C1-6 alkyl, C1-6 alkoxy, C1-6 haloalkyl, (un)substituted 5- or 6-membered heterocyclyl containing at least one heteroatom selected from O, N, and S; k = 0-4; R3, R31 R4, R41, R5, R51, R6, R61, R7 = H, C1-6 alkyl, C1-6 alkoxycarbonyl, C1-6 alkoxy; or R3 and R4 or R5 and R6 together form a saturated ring; X = 0, S(0), S(0)2; n = 0, 1], salts, or N-oxide thereof are prepared Thus, a solution of 3.0 g 4-hydroxypiperidine and 5.4 g 2-chloro-5-trifluoromethylpyridine in 25 mL ethanol was treated with 4.5 g Et3N and refluxed overnight to give 5.98 g 1-[5-(Trifluoromethyl)pyridin-2-yl]piperidin-4-ol (II). A solution of II 4.9, 5-hydroxy-2-nitrobenzotrifluoride 3.2, and Ph3P 5.6 g in 30 mL THF was treated dropwise with a solution of 4.3 g diisopropyl azodicarboxylate in 30 mL THF under ice-cooling, warmed to room temperature, and stirred for 3 h to give 5.98 g 4-[4-Nitro-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethyl)-2-pyridyl]-piperidine (III). A solution of 5.7 g III in 300 mL ethanol was treated with 18.8 g zinc powder and 1.9 g CaCl2.2H2O and refluxed overnight to give 5.4 g 4-[4-Amino-3-(trifluoromethyl)phenoxy]-1-[5-(trifluoromethy1)-2-pyridy1]-piperidine (IV). IV at 125 ppm controlled 100% adult Tetranychus urticae on kidney bean leaf.

IT 866615-17-0P 866615-33-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(2-pyridy1) cyclic amine derivs. as pesticides such as insecticides and miticides)

RN 866615-17-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-, hydrochloride (1:1), (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 866615-33-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-propoxy-4-(trifluoromethyl)phenoxy]-, (3-endo)- (CA INDEX NAME)

ANSWER 14 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN L4

ACCESSION NUMBER: 2005:141056 CAPLUS

DOCUMENT NUMBER: 142:240467

Piperidinyl- and piperazinyl-substituted TITLE:

phenylsulfonyl benzazepine compounds as antipsychotic

agents and their preparation, pharmaceutical

compositions, and use.

INVENTOR(S): Cooper, David Gwyn; Forbes, Ian Thomson; Garzya,

Vincenzo; Gribble, Andrew Derrick; Lightfoot, Andrew

P.; Payne, Andrew H.; Walker, Graham

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIND DATE					APPL	ICAT	DATE					
WO	2005014578			A1 20050217				,	wo 2	004-		20040805					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML ,	MR,	ΝE,
		SN,	TD,	ΤG													
PRIORIT	Y APP	LN.	INFO	.:					1	GB 2	003-	i	A 20030808				

GB 2003-18715

A 20030808

II

CASREACT 142:240467; MARPAT 142:240467 OTHER SOURCE(S):

GΙ

$$R^3-Z$$
 X
 R^7
 R^4
 R^2
 N
 R^8
 N
 R^8
 N
 R^8
 N
 R^8
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$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

The invention provides compds. I [wherein: A, B = (CH2)m and (CH2)n, AΒ resp.; R1 = H or C1-6 alkyl; R2 = H, halo, OH, cyano, NO2, hydroxyalkyl, CF3, CF30, C1-6 alkyl, C1-6 alkoxy, C1-6 fluoroalkoxy, (CH2)p-C3-6-cycloalkyl, (CH2)p0-C3-6-cycloalkyl, CO-C1-6-alkyl,SO2-C1-6-alkyl, SO-C1-6-alkyl, S-C1-6-alkyl, CO2-C1-6-alkyl, CO2NR5R6, SO2NR5R6, (CH2)pNR5R6, (CH2)pNR5COR6, (un)substituted (hetero)aryl or heterocyclyl; R3 = (un)substituted (hetero)aryl; R4 = H, OH, C1-6 alkyl, C1-6 alkoxy, CF3, CF30, halo, OSO2CF3, (CH2)p-C3-6-cycloalkyl, (CH2)qO-C1-6-alkyl, or (CH2)pO-C3-6-cycloalkyl; X = CH or N; Z = bond, O, (CH2)r, CH2O, OCH2, or CO; R5, R6 = H, C1-6 alkyl, or together with the intervening atoms form an azacycloalkyl ring with optional oxo substitution; R7, R8 = H or C1-6 alkyl; or R7R8 = (CH2)s; m, n = 1 or 2; p = 0, 1, 2, or 3; q, r = 1, 2, or 3; s = 2, 3, or 4; or a pharmaceuticallyacceptable salt or solvate, with the proviso that when X = N, then Z =bond, (CH2)r, or CO]. I are useful in therapy, in particular as antipsychotic agents. Use of I for treatment of numerous other CNS diseases and disorders is also claimed. Approx. 60 compds. were prepared in examples, and a subset of these are claimed individually. For instance, the intermediate 7-(4-fluorobenzenesulfonyl)-8-methoxy-3-methyl-2,3,4,5tetrahydro-1H-3-benzazepine (prepared in 5 steps) underwent aromatic amination with 4-(4-fluorophenoxy)piperidine in dry DMSO at 30° to give 53% invention compound II. Compound II bound to human or unspecified cloned receptors in vitro with Ki values as follows: human D3 7.2-8.8, human D2 6.6-8.5, cloned 5-HT6 7.2-8.5, cloned 5-HT2C 6.6-8.2, and cloned 5-HT2A 7.3-9.2.

IT 845291-48-7P, endo-3-(4-Chlorophenoxy)-8-azabicyclo[3.2.1]octane
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of piperidinyl- and piperazinyl-substituted phenylsulfonyl benzazepine compds. as antipsychotics)

RN 845291-48-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

1

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1154707 CAPLUS

DOCUMENT NUMBER: 142:94018

TITLE: Preparation of novel 8-azabicyclo[3.2.1]octane

derivatives for use in pharmaceutical compositions as

monoamine neurotransmitter re-uptake inhibitors

INVENTOR(S): Peters, Dan; Eriksen, Birgitte L.; Nielsen, Elsebet

Ostergaard; Scheel-Krueger, Jorgen; Olsen, Gunnar M.

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P	'ΑΊ	ENT I	.O			KIND DATE					APP	LICAT	ION	DATE					
W	10	2004	1133	 34		A1 20041229					WO	2004-		20040618					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	ΒA,	BE	B, BG,	BR,	BW,	BY,	BΖ,	CA,	CH,	
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
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			SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	$\mathbb{C}\mathbb{M}$	I, GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
			SN,	TD,	ΤG														
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												2004-					0040	618	
E	ŀΡ	16389		A1		2006	0329		EΡ	2004-	7418	37		2	0040	618			
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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C	N	1798	745			A 20060705 A 20060808					CN	2004-	8001	20040618					
В	BR	20040	0116	8 0		Α		2006	8080		BR	2004-	1160	20040618					
M	ΙX	20051	PA13	444		A		2006	0731		MX	2005-	PA13	20051209					
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												2005-							
						А		2006	0324		ИО	2006-	360				0060		
PRIORI	TY	APP1	LN.	INFO	.:							2003-					0030		
											US	2003-	4825	66P		P 2	0030	626	
												2003-					0031		
											US	2003-	5098	08P		P 2	0031	010	
												2004-					0040		
												2004-					0040		
											WO	2004-	EP51	167	,	W 2	0040	618	
OTHER	OTHER SOURCE(S):						PAT	142:	94018	3									

GΙ

AΒ 8-Azabicyclo[3.2.1]octane derivs. of tropine and pseudotropine, such as I [R = H, alkyl; R1 = aryl, heteroaryl; X = 0, S, NR3; R3 = H, alkyl, acyl,sulfonyl, etc.], were prepared for therapeutic use in the treatment of diseases, disorders or conditions responsive to inhibition of monoamine neurotransmitter reuptake in the central nervous system (CNS). The CNS disorders claimed for treatment include mood disorder, depression, atypical depression, major depressive disorder, dysthymic disorder, bipolar disorder, bipolar I disorder, bipolar II disorder, cyclothymic disorder, mood disorder due to a general medical condition, substance-induced mood disorder, pseudodementia, Ganser's syndrome, obsessive compulsive disorder, panic disorder, panic disorder without agoraphobia, panic disorder with agoraphobia, agoraphobia without history of panic disorder, panic attack, memory deficits, memory loss, attention deficit hyperactivity disorder, obesity, anxiety, generalized anxiety disorder, eating disorder, Parkinson's disease, parkinsonism, dementia, dementia of ageing, senile dementia, Alzheimer's disease, acquired immunodeficiency syndrome dementia complex, memory dysfunction in ageing, specific phobia, social phobia, posttraumatic stress disorder, acute stress disorder, drug addiction, drug misuse, cocaine abuse, nicotine abuse, tobacco abuse and alcoholism. Further, the CNS disorders claimed for treatment include pain, chronic pain, inflammatory pain, neuropathic pain, migraine pain, tension-type headache, chronic tension-type headache, pain associated with depression, fibromyalgia, arthritis, osteoarthritis, rheumatoid arthritis, back pain, cancer pain, irritable bowel pain, irritable bowel syndrome, postoperative pain, post-stroke pain, drug-induced neuropathy, diabetic neuropathy, sympathetically-maintained pain, trigeminal neuralgia, dental pain, myofacial pain, phantom-limb pain, bulimia, premenstrual syndrome, late luteal phase syndrome, posttraumatic syndrome, chronic fatigue syndrome, urinary incontinence, stress incontinence, urge incontinence, nocturnal incontinence, sexual dysfunction, premature ejaculation, erectile difficulty, erectile dysfunction, eating disorders, anorexia nervosa, sleep disorders, autism, mutism, trichotillomania, narcolepsy, post-stroke depression, stroke-induced brain damage, stroke-induced neuronal damage or Gilles de la Tourette's disease. Thus, endo-8-azabicyclo[3.2.1]octane derivative II was prepared in 33% yield by reacting tropine with tetrahydrothiophene using t-BuOK and 18-crown-6 ether in DMF. Dosages and pharmaceutical compns. of these 8-azabicyclo[3.2.1]octanes were discussed.

IT 817198-27-9P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel 8-azabicyclo[3.2.1]octane tropine or pseudotropine derivs. for use in pharmaceutical compns. as monoamine neurotransmitter re-uptake inhibitors)

817198-27-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, (3-exo)- (CA INDEX NAME)

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ΙT
    817194-14-2P 817194-20-0P 817194-28-8P
    817194-43-7P 817194-49-3P, exo-3-(3-Chlorophenoxy)-8H-8-
    azabicyclo[3.2.1]octane 817194-50-6P 817194-55-1P
    817194-59-5P, exo-3-(4-Chlorophenoxy)-8H-8-azabicyclo[3.2.1]octane
    817194-60-8P 817194-64-2P, exo-3-(2-Chloro-3-
    trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817194-65-3P
    817194-71-1P 817194-76-6P 817194-96-0P,
    exo-3-(4-Chloro-3-trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane
    817194-97-1P 817195-02-1P, exo-3-(2-Dibenzofuranyloxy)-
    8H-8-azabicyclo[3.2.1]octane 817195-03-2P 817195-08-7P
     , exo-3-(1-Naphthyloxy)-8H-8-azabicyclo[3.2.1]octane 817195-09-8P
    817195-12-3P, exo-3-(2-Naphthyloxy)-8H-8-azabicyclo[3.2.1]octane
    817195-13-4P 817195-17-8P, exo-3-(3-Chloro-4-
    cyanophenoxy)-8H-8-azabicyclo[3.2.1]octane 817195-18-9P
    817195-20-3P, exo-3-(4-Chloro-3-methylphenoxy)-8H-8-
    azabicyclo[3.2.1]octane 817195-21-4P 817195-24-7P,
    exo-3-(4-Chloronaphthalen-1-yloxy)-8H-8-azabicyclo[3.2.1]octane
    817195-25-8P 817195-28-1P, exo-3-(Quinolin-2-yloxy)-8H-8-
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    exo-3-(5-Chloropyridin-2-yl)-8H-8-azabicyclo[3.2.1]octane
    817195-35-0P 817195-41-8P, exo-3-(4-Methoxyphenoxy)-8H-8-
    azabicyclo[3.2]octane 817195-42-9P 817195-46-3P,
    exo-3-(Isoquinolin-5-yloxy)-8H-8-azabicyclo[3.2.1]octane
    817195-47-4P 817195-52-1P 817195-57-6P,
    exo-3-(4-Bromo-3-chlorophenoxy)-8H-8-azabicyclo[3.2.1]octane
    817195-58-7P 817195-65-6P, exo-3-(Quinolin-6-yloxy)-8H-8-
    azabicyclo[3.2.1]octane 817195-66-7P 817195-78-1P,
    exo-3-(4-Cyanophenoxy)-8H-8-azabicyclo[3.2.1]octane 817195-79-2P
    817195-85-0P, exo-3-(Quinolin-8-yloxy)-8H-8-
    azabicyclo[3.2.1]octane 817195-86-1P 817195-88-3P,
    exo-3-(4-Methylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817195-89-4P
    817195-95-2P, exo-3-(6-Chloropyridin-2-yloxy)-8H-8-
    azabicyclo[3.2.1]octane 817195-96-3P 817196-01-3P,
    exo-3-(5-Bromopyridin-2-yloxy)-8H-8-azabicyclo[3.2.1]octane
    817196-02-4P 817196-04-6P, exo-3-(6-Bromopyridin-2-
    yloxy)-8H-8-azabicyclo[3.2.1]octane 817196-05-7P
    817196-09-1P, exo-3-(Isoquinolin-1-yloxy)-8H-8-
    azabicyclo[3.2.1]octane 817196-10-4P 817196-13-7P
    817196-18-2P 817196-23-9P, exo-3-(6-Methoxypyridin-2-
    yloxy)-8H-8-azabicyclo[3.2.1]octane 817196-24-0P
    817196-30-8P, exo-3-(5-Trifluoromethylpyridin-2-yloxy)-8H-8-
    azabicyclo[3.2.1]octane 817196-31-9P 817196-36-4P,
    exo-3-(6-Ethoxypyridin-2-yloxy)-8H-8-azabicyclo[3.2.1]octane
    817196-37-5P 817196-44-4P, exo-3-(4-Fluoro-3-
    trifluoromethylphenoxy)-8H-8-azabicyclo[3.2.1]octane 817196-45-5P
    817199-45-4P 817629-76-8P 817629-77-9P
    817629-78-0P 817629-89-3P 817629-91-7P
    817629-92-8P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
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study); PREP (Preparation); USES (Uses)

(preparation of novel 8-azabicyclo[3.2.1]octane tropine or pseudotropine derivs. for use in pharmaceutical compns. as monoamine neurotransmitter re-uptake inhibitors)

RN 817194-14-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-20-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2,3-dichlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-28-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817194-43-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chloro-4-fluorophenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-42-6

CMF C13 H15 Cl F N O

Relative stereochemistry.

СМ 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

817194-49-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

817194-50-6 CAPLUS RN

8-Azabicyclo[3.2.1] octane, 3-(3-chlorophenoxy)-, (3-exo)-,

(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 817194-49-3 CMF C13 H16 C1 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817194-55-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-fluorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-59-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-60-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chlorophenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-59-5

CMF C13 H16 C1 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817194-64-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-chloro-3-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-65-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[2-chloro-3-(trifluoromethyl)phenoxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-64-2

CMF C14 H15 C1 F3 N O

Relative stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

RN 817194-71-1 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

RN 817194-76-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1,2-benzisothiazol-3-yloxy)-, monohydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817194-96-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817194-97-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-chloro-3-(trifluoromethyl)phenoxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817194-96-0

CMF C14 H15 C1 F3 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

RN 817195-02-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-03-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-dibenzofuranyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-02-1 CMF C19 H19 N O2

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 Double bond geometry as shown.

RN 817195-08-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1-naphthalenyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-09-8 CAPLUS

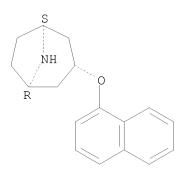
CN 8-Azabicyclo[3.2.1]octane, 3-(1-naphthalenyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-08-7 CMF C17 H19 N O

CMF C1/H19 N O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-12-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-naphthalenyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-13-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-naphthalenyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-12-3 CMF C17 H19 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-17-8 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-chloro- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-18-9 CAPLUS

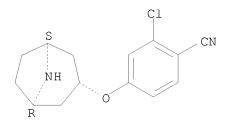
CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-2-chloro-,

(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 817195-17-8 CMF C14 H15 C1 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817195-20-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-methylphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-21-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-chloro-3-methylphenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-20-3 CMF C14 H18 C1 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-24-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-1-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-25-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(4-chloro-1-naphthalenyl)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-24-7 CMF C17 H18 C1 N O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-28-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-29-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(2-quinolinyloxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-28-1 CMF C16 H18 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817195-34-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-35-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-chloro-2-pyridinyl)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-34-9 CMF C12 H15 C1 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-41-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methoxyphenoxy)-, (3-exo)- (CA INDEX NAME)

RN 817195-42-9 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-(4-methoxyphenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-41-8 CMF C14 H19 N O2

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

RN 817195-46-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(5-isoquinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

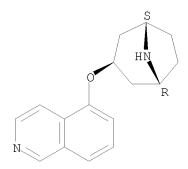
RN 817195-47-4 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(5-isoquinolinyloxy)-, (3-exo)-,

(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-46-3 CMF C16 H18 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817195-52-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo-2-naphthalenyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-57-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-bromo-3-chlorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-65-6 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-(6-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-66-7 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(6-quinolinyloxy)-, (2E)-2-butenedioate (1:1)
(9CI) (CA INDEX NAME)

CM 1

CRN 817195-65-6 CMF C16 H18 N2 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

RN 817195-78-1 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-79-2 CAPLUS

CN Benzonitrile, 4-[(3-exo)-8-azabicyclo[3.2.1]oct-3-yloxy]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 817195-78-1

CMF C14 H16 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-85-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(8-quinolinyloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-86-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(8-quinolinyloxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-85-0 CMF C16 H18 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817195-88-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methylphenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817195-89-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-methylphenoxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-88-3 CMF C14 H19 N O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817195-95-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

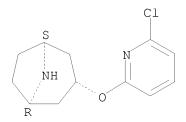
RN 817195-96-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-chloro-2-pyridinyl)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817195-95-2 CMF C12 H15 C1 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817196-01-3 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-02-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(5-bromo-2-pyridinyl)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-01-3 CMF C12 H15 Br N2 O

CM 2

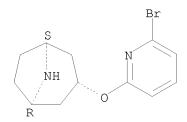
CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-04-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



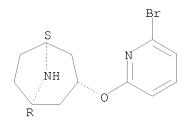
RN 817196-05-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-bromo-2-pyridinyl)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-04-6 CMF C12 H15 Br N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-09-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1-isoquinolinyloxy)-, (3-exo)- (CA INDEX

NAME)

Relative stereochemistry.

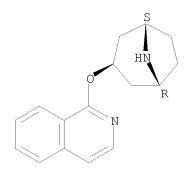
RN 817196-10-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1-isoquinolinyloxy)-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-09-1 CMF C16 H18 N2 O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-13-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

RN 817196-18-2 CAPLUS

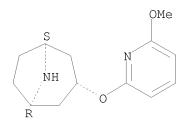
CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethoxy)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-23-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



RN 817196-24-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-methoxy-2-pyridiny1)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-23-9 CMF C13 H18 N2 O2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-30-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-31-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[[5-(trifluoromethyl)-2-pyridinyl]oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-30-8 CMF C13 H15 F3 N2 O

Relative stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-36-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

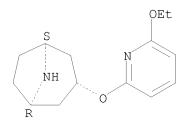
RN 817196-37-5 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6-ethoxy-2-pyridiny1)oxy]-, (3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-36-4 CMF C14 H20 N2 O2

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 817196-44-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-fluoro-3-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817196-45-5 CAPLUS

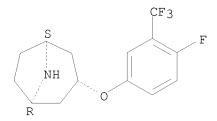
CN 8-Azabicyclo[3.2.1]octane, 3-[4-fluoro-3-(trifluoromethyl)phenoxy]-,

(3-exo)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 817196-44-4 CMF C14 H15 F4 N O

Relative stereochemistry.



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_2H}}$

RN 817199-45-4 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(1,2-benzisothiazol-3-yloxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817629-76-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

● HCl

RN 817629-77-9 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, hydrochloride, (3-endo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817629-78-0 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, (3-exo)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 817629-89-3 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-[(3,4,5-trichloro-2-thienyl)oxy]-, (3-exo)-(CA INDEX NAME)

RN 817629-91-7 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(3,4-dichlorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 817629-92-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:80685 CAPLUS

DOCUMENT NUMBER: 140:146011

TITLE: Preparation of bicyclic piperidine derivatives as

antagonists of the CCR1 chemokine receptor

INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Hayward,

Matthew Merrill; Poss, Christopher Stanley

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.				KIND DATE			APPLICATION NO.					DATE				
WO	2004	 0095	88		A1	_	2004	0129		WO	2003-	 IB31	 55		2	0030	707
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ	, GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2492	110			A1		2004	0129		CA	2003-	2492	110		2	0030	707
AU	2003	2815	27		A1		2004	0209		AU	2003-	2815	27		2	0030	707
							2005	0426		BR	2003-	1269	9		2	0030	707
EP	1525	201			A1		2005	0427	EP 2003-741007				07		707		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
CN	1668	614			Α		2005	0914		CN	2003-	8170	0.5		2	0030	707
JP	2005 2004	5338	45		T						2004-					0030	
US	2004	0636	88		A1		2004	0401		US	2003-	6168	43		2	0030	708
IN	2004	DN 0 4	155		A		2005	0401		IN	2004-	DN41	55		2	0041	228
MX	2005	PA00	757		Α		2005	0419		MX	2005-	PA75	7		2	0050	118
RIORIT	Y APP	LN.	INFO	.:						US	2002-	3972	63P		P 2	0020	718
										WO	2003-	IB31	55		W 2	0030	707
THER SO	OURCE	(S):			MAR	PAT	140:	1460	11								

OTHER SOURCE(S): MARPAT 140:146011

GΙ

$$\begin{bmatrix} \mathbb{R}^5 & \mathbb{O} \\ \mathbb{Q} \end{bmatrix}_{\mathbf{C}_{\widetilde{W}}} \mathbb{Z} \xrightarrow{\mathbb{N}} \mathbb{R}^4 \xrightarrow{\mathbb{R}^2} \mathbb{R}^1 = \mathbb{R}$$

AB The title compds. [I; a = 1-5; b = 0-4; c = 0-1; Q = alkyl; W = aryl, heteroaryl; Y = 0, NH, N(alkyl); Z = 0, NH, N(alkyl), N(acetyl); R1 = H, halo, CN, NO2, etc.; R2, R3 = H, alkyl, haloalkyl; R4 = alkylene, (CH2)xO(CH2)y (wherein x, Y = 1-2); R5 = H, halo, alkyl, etc.; R6 = H,

halo, alkyl, etc.], useful as potent and selective inhibitors of MIP-1 α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes), were prepared E.g., a multi-step synthesis of (trans)-5-chloro-2-{2-[3-(4-fluorophenoxy)-8-aza-bicyclo[3.2.1]oct-8-yl]-2-oxoethoxy}benzamide was given. All exemplified compds. I had IC50 of <10 μ M in the chemotaxis assay. Pharmaceutical composition comprising the compound I is claimed. 652148-02-2P 653600-07-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic piperidine derivs. as antagonists of the CCR1 chemokine receptor)

RN 652148-02-2 CAPLUS

ΙT

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

RN 653600-07-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(4-fluorophenoxy)-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964330 CAPLUS

DOCUMENT NUMBER: 138:39295

TITLE: Preparation of heterocyclic compounds as Rho-kinase

inhibitors

INVENTOR(S): Imazaki, Naonori; Kitano, Masafumi; Ohashi, Naohito;

Matsui, Kazuki

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan

SOURCE: PCT Int. Appl., 425 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.F	PATENT NO.				KIND DATE				APPLICATION NO.						DATE		
WC	2002	1008	 33		A1	A1 20021219			WO 2002-JP5609						2	0020	606
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	OM,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,
		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
JA	J 2002	3062	84		A1		2002	1223		AU 2	2002-	3062	84		2	0020	606
EF	1403	255			A1		2004	0331	EP 2002-733352					20020606			
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
US	2004	1382	86		A1		2004	0715		US 2	2003-	4805	26		2	0031	212
US	7199	147			В2		2007	0403									
PRIORIT	TY APP	LN.	INFO	.:					1	JP 2	2001-	1768.	26		A 2	0010	612
										JP 2	2001-	3989	92		A 2	0011	228
									,	WO 2	2002-	JP56	09	1	W 2	0020	606

OTHER SOURCE(S): MARPAT 138:39295

GΙ

$$R^1$$
 X
 A
 R^2

The title compds. I [wherein one to four groups represented by the general formula R1-X are present and may be the same or different from each other; A is a saturated or unsatd. five-membered heterocycle; X is a single bond, N(R3), O, S, or the like; R1 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; R2 is hydrogen, halogeno, nitro, carboxyl, substituted or unsubstituted alkyl, or the like; and R3 is hydrogen, substituted or unsubstituted alkyl, or the like] are prepared N-(1-Benzyl-4-piperidinyl)-1H-indazole-5-amine dihydrochloride monohydrate in vitro showed IC50 of 0.4 $\mu \rm L/mL$ against Rho-kinase.

IT 478834-96-7P, 5-(8-Azabicyclo[3.2.1]oct-3-yloxy)-1H-indazole
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of heterocyclic compds. as Rho-kinase inhibitors)

RN 478834-96-7 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-(1H-indazol-5-yloxy)- (CA INDEX NAME)

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:180545 CAPLUS

DOCUMENT NUMBER: 128:217374

TITLE: Preparation of piperidinylbenzoxazinones as tocolytic

oxytocin receptor antagonists.

INVENTOR(S): Sparks, Michelle A.; Freidinger, Roger M.; Perlow,

Debra S.; Williams, Peter D.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 36 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5726172	A	19980310	US 1997-779296	19970106
PRIORITY APPLN. INFO.:			US 1997-779296	19970106
OTHER SOURCE(S):	MARPAT	128:217374		

GΙ

AB Title compds. (I; R1 = H, halo; W = CR2R3R4, azabicyclooctyl, tetrahydrofuryl, etc.; R2 = H, halo, alkyl; R3 = R2, aryl; R4 = haloalkyl, CONH2, cyano, CHMeOH, piperidinyl, etc.; R8 = H, alkoxy), were prepared Thus, 1-[1-[4-hydroxy-2-methoxybenzoyl]-piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one in THF was treated with Ph3P and then with (S)-3-hydroxytetrahydrofuran and di-Et azodicarboxylate to give (R)-1-[1-[4-(tetrahydrofuran-3-oxy)-2-methoxybenzoyl]piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one. In [3H]-oxytocin and [3H]-arginine vasopressin binding assays, representative I showed IC50 = 5-500 nM.

Τ

IT 194151-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidinylbenzoxazinones as tocolytic oxytocin receptor antagonists)

RN 194151-48-9 CAPLUS

CN Piperidine, 1-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, exo-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:499106 CAPLUS

DOCUMENT NUMBER: 127:190743

TITLE: Preparation of benzoxazinones as tocolytic oxytocin

receptor antagonists

INVENTOR(S): Sparks, Michelle A.; Friedinger, Roger M.; Perlow,

Debra S.; Williams, Peter D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Sparks, Michelle A.;

Friedinger, Roger M.; Perlow, Debra S.; Williams,

Peter D.

SOURCE: PCT Int. Appl., 113 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.			KIND DATE			APPLICATION NO.						DATE					
	WO 9725992		A1		19970724		WO 1997-US571			19970			113					
		W:	AL,	AM,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
			IL,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,
			NO,	NΖ,	PL,	RO,	RU,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	US,	UZ,	VN,
			AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM							
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,
			MR,	ΝE,	SN,	TD,	ΤG											
	ΑU	9716	989			A		1997	0811		AU 19	997-	1698	9		1	9970	113
PRIOF	RITS	APP:	LN.	INFO	.:					1	US 19	996-	1003	4P]	P 1	9960	116
										(GB 19	996-	5701		i	A 1	9960	319
										1	WO 19	997-1	JS57:	1	Ţ	W 1	9970	113

OTHER SOURCE(S): MARPAT 127:190743

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AB The title compds. [I; R1 = H, halo; W = CR2R3R4, CHR3Ar, etc.; R2 = H, halo, C1-5 alkyl; R3 = H, halo, C1-5 alkyl, Ar; R4 = mono-, di-, tri-halogenated C1-5 alkyl, CONH2, etc.; R8 = H, C1-5 alkoxy; Ar = Ph, CF3C6H4, naphthyl, etc.], oxytocin receptor antagonists which are useful in treating preterm labor, dysmenorrhea, stopping labor prior to cesarean

Т

delivery, increasing fertility and embryonic survival, and controlling the timing of estrus in a farm animal, were prepared and formulated. Thus, reaction of benzoxazinone II with Ph2CHBr in the presence of Cs2CO3 in DMF afforded I [R1 = H; W = diphenylmethyl; R8 = MeO]. Representative compds. I showed IC50 of 5-500 nM against [3H]oxytocin and [3H]arginine vasopressin binding.

IT 194151-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzoxazinones as tocolytic oxytocin receptor antagonists) 194151-48-9 CAPLUS

RN 194151-48-9 CAPLUS
CN Piperidine, 1-[4-(8-azabicyclo[3.2.1]oct-3-yloxy)-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, exo- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:158064 CAPLUS

DOCUMENT NUMBER: 112:158064

TITLE: Preparation of 3-(pentafluorophenoxy)-8-

azabicyclo[3.2.1]octanes and their use as medicaments INVENTOR(S): Helsley, Grover Cleveland; Davis, Larry; Olsen, Gordon

Ε.

PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	EP 333026	A1	19890920	EP 1989-104174	19890309
	R: AT, BE, CH,	DE, ES	, FR, GB, GR	, IT, LI, LU, NL, SE	
	US 4861889	A	19890829	US 1988-167942	19880314
	DK 8901208	A	19890915	DK 1989-1208	19890313
	JP 01275579	A	19891106	JP 1989-58073	19890313
	US 4916139	A	19900410	US 1989-362639	19890607
PRI	ORITY APPLN. INFO.:			US 1988-167942	A 19880314

OTHER SOURCE(S): CASREACT 112:158064; MARPAT 112:158064

GI For diagram(s), see printed CA Issue.

Title compds. I [(R1 = H, aryl; R2 = H, cyano, alkyl, (cycloalkyl)alkyl, arylalkyl, heteroarylalkyl, HCO, HCS, alkylcarbonyl, aminoalkyl, (alkylamino)thiocarbonyl, etc.] or their pharmaceutically acceptable salts, useful as analgesics, anticonvulsants, antihypertensives, and antidepressants, are prepared exo-I (R1 = R2 = H) (preparation given), 3-(3-chloropropyl)-6-fluoro-1,2-benzisoxazole, K2CO3, KI, and DMF were stirred for 7 h to give exo-I [R1 = H; R2 = 3-(6-fluoro-1,2-benzisoxazol-3-yl)propyl] which was converted to the HCl salt (II). II at 50 mg/kg orally decreased arterial blood pressure in spontaneous hypertensive rat by 48 mm Hg. I were also tested for analgesic, anticonvulsant and antidepressant activity.

IT 126044-60-8P 126044-78-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as drug)

RN 126044-60-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-(pentafluorophenoxy)-, hydrochloride, endo-(9CI) (CA INDEX NAME)

RN 126044-78-8 CAPLUS CN 8-Azabicyclo[3.2.1]octane, 3-(pentafluorophenoxy)-, exo- (9CI) (CA INDEX NAME)

ANSWER 21 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN T.4

ACCESSION NUMBER: 1974:563495 CAPLUS

DOCUMENT NUMBER: 81:163495

ORIGINAL REFERENCE NO.: 81:25211a,25214a

Synthesis of some N-carboxylic acid derivatives of TITLE: 3-phenoxypyrrolidines, 4-phenoxypiperidines, and

3-phenoxynortropanes with muscle relaxant and

anticonvulsant activities

AUTHOR(S): Boswell, Robert F., Jr.; Helsley, Grover C.; Duncan,

Robert L., Jr.; Funderburk, William H.; Johnson, David

CORPORATE SOURCE: Res. Lab., A. H. Robins Co., Inc., Richmond, VA, USA SOURCE:

Journal of Medicinal Chemistry (1974), 17(9), 1000-8

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 81:163495

A series of 43 title compds. were prepared by the reaction of the appropriate 3-phenoxypyrrolidine, 4-phenoxypiperidine, or 3-phenoxynortropane intermediate with nitrourea [556-89-8], an isocyanate, disubstituted carbamoyl chloride, or by treating N-benzyl intermediates with cyanogen bromide [506-68-3] or phosgene. Anticonvulsant or muscle relaxant activities in mice and cats, were observed for several compds. 3-(M-Chlorophenoxy)-1-methylcarbamoylpyrrolidine (I) [28482-91-9] showed

pronounced muscle relaxant activity comparable to mephenesin.

36768-90-8P 36768-92-0P 36768-94-2P ΙT

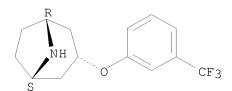
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

36768-90-8 CAPLUS RN

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

36768-92-0 CAPLUS RN

8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, CN exo- (9CI) (CA INDEX NAME)

● HCl

RN 36768-94-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:405359 CAPLUS

DOCUMENT NUMBER: 77:5359
ORIGINAL REFERENCE NO.: 77:939a,942a

TITLE: Antispasmodic 8-carbamoyl-3-

(trifluoromethylphenyloxy)nortropanes

INVENTOR(S): Helsley, Grover C.; Boswell, Robert F., Jr.

PATENT ASSIGNEE(S): A. H. Robins Co., Inc. SOURCE: Ger. Offen., 27 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
DE 2143588	A	19720309	DE 1971-2143588		19710831	
US 3657253	A	19720418	US 1970-68593		19700831	
AU 7132714	A	19730301	AU 1971-32714		19710825	
ES 394543	A1	19741016	ES 1971-394543		19710826	
JP 51029159	В	19760824	JP 1971-64818		19710826	
FR 2103643	A1	19720414	FR 1971-31359		19710830	
FR 2103643	A5	19720414				
ZA 7105772	A	19720426	ZA 1971-5772		19710830	
CH 534154	A	19730413	CH 1971-12695		19710830	
CA 941380	A1	19740205	CA 1971-121717		19710830	
PRIORITY APPLN. INFO.:			US 1970-68593	Α	19700831	

GI For diagram(s), see printed CA Issue.

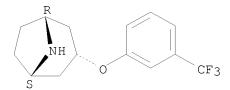
AB Eight title compds. [I, R = H2NCO, EtNHCO, MeNHCO, MeNHCS, or Me2NCO; R1 = m-CF3 or p-CF3 and their β -isomers (II)] were prepared from I or II (R = H) and RX (X = NHNO2 or C1) or EtNCO, MeNCO, or MeNCS. I and II had antispasmodic effects in mice. Thus, 8-benzyl-3 α -nortropine was added to NaH in DMF and the mixture heated at 65-70°. -FC6H4CF3 in DMF was added and the mixture refluxed 5 hr to give 68% I (R = PhCH2, R1 = m-CF3), which was hydrogenated in EtOH over Pd/C to give 92% I [R = H, R1 = m-CF3 (III)]. III was refluxed with H2NCONHNO2 in EtOH for 15 min to give 62% I (R = H2NCO, R1 = m-CF3).

IT 36768-90-8P 36768-91-9P 36768-92-0P 36768-93-1P 36768-94-2P 36768-95-3P

RN 36768-90-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



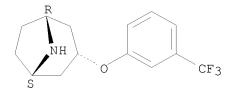
● HCl

RN 36768-91-9 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, endo- (9CI)

(CA INDEX NAME)

Relative stereochemistry.



RN 36768-92-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, hydrochloride, exo- (9CI) (CA INDEX NAME)

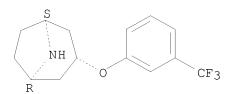
Relative stereochemistry.

● HCl

RN 36768-93-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[3-(trifluoromethyl)phenoxy]-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 36768-94-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[4-(trifluoromethyl)phenoxy]-, hydrochloride, endo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

● HCl

RN 36768-95-3 CAPLUS
CN 8-Azabicyclo[3.2.1]octane, 3-(2-bromophenoxy)-, endo- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1972:140921 CAPLUS

DOCUMENT NUMBER: 76:140921

ORIGINAL REFERENCE NO.: 76:22891a,22894a

TITLE: $11-(3\alpha-Nortropanyloxy)-6$, 11-

dihydrodibenzo[b,e]thiepines and their S-oxides

INVENTOR(S): Gadient, Fulvio
PATENT ASSIGNEE(S): Sandoz Ltd.
SOURCE: Ger. Offen., 18 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE		
DE 2134820	 А	19720120	DE 1971-2134820		19710713		
CH 528538	A	19720930	CH 1970-528538		19700715		
СН 529783	A	19721031	СН 1970-529783		19700715		
CH 529784	A	19721031	CH 1970-529784		19700715		
СН 529785	A	19721031	CH 1970-529785		19700715		
NL 7109301	A	19720118	NL 1971-9301		19710706		
BE 769968	A1	19720113	BE 1971-105886		19710713		
FR 2100908	A5	19720324	FR 1971-25570		19710713		
FR 2100908	В1	19750207					
AU 7131165	A	19730118	AU 1971-31165		19710713		
US 3716544	A	19730213	US 1971-162290		19710713		
ни 163777	В	19731027	HU 1971-SA2220		19710713		
GB 1354538	A	19740530	GB 1971-32851		19710713		
ES 393219	A1	19740916	ES 1971-393219		19710713		
SE 368955	В	19740729	SE 1971-9119		19710714		
AT 7106127	A	19750915	AT 1971-6127		19710714		
PRIORITY APPLN. INFO.:			CH 1970-10735	A	19700715		
			CH 1970-10736	A	19700715		
			CH 1970-10737	А	19700715		
			CH 1970-10738	A	19700715		

GI For diagram(s), see printed CA Issue.

AB The title compds. (I; n=0, 1, or 2; R=H, Et, Pr, iso-Pr, or Bu), useful as antiulcerous drugs, were prepared by reaction of II with HX optionally followed by S-oxidation with H2O2. Thus, HCl(g) was passed into III (n=0) in C6H6 with cooling, crude II (n=0) obtained was added to boiling HX (n=0) in xylene, and the mixture refluxed 1 hr to give oily I (n=0, n=0) (IV) isolated as methanesulfonate. Treating IV in AcOH with H2O2 for 17 hr at room temperature or 7 hr at 80° yielded I (n=1, n=0) and I (n=2, n=0), resp. Similarly prepared were 11 other I.

IT 36079-40-0P 36079-41-1P 36079-42-2P

RN 36079-40-0 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydro-5-oxidodibenzo[b,e]thiepin-11-yl)oxy]-, endo-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 47435-95-0 CMF C21 H23 N O2 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
 $^{\mathrm{E}}$ $_{\mathrm{CO_{2}H}}$

RN 36079-41-1 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydro-5,5-dioxidodibenzo[b,e]thiepin-11-yl)oxy]-, endo-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 47482-42-8 CMF C21 H23 N O3 S

Relative stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 36079-42-2 CAPLUS

CN 8-Azabicyclo[3.2.1]octane, 3-[(6,11-dihydrodibenzo[b,e]thiepin-11-yl)oxy](CA INDEX NAME)

L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:62936 CAPLUS

DOCUMENT NUMBER: 74:62936

ORIGINAL REFERENCE NO.: 74:10157a,10160a

TITLE: Comparison of the pharmacological properties of

deptropine, its methobromide (BS 7020a) and the

10,11-dehydro analogs

AUTHOR(S): Timmerman, H.; Lavy, U. I.; Mulder, Dirk

CORPORATE SOURCE: Res. Dep., N. V. Koninklijke Pharm. Fabr., Amsterdam,

Neth.

SOURCE: Archives Internationales de Pharmacodynamie et de

Therapie (1970), 187(2), 291-300 CODEN: AIPTAK; ISSN: 0003-9780

DOCUMENT TYPE: Journal LANGUAGE: English

AB The antihistaminic and anticholinergic activities of deptropine

 $(3\alpha\hbox{-[(10,11-dihydro-5H-dibenzo[}\alpha,d]cyclohepten-5-$

yl)oxy]tropane citrate) (I) and its 10,11-dehydro analog, $3\alpha-[(5H-\text{dibenzo}[\alpha,d]\text{cyclohepten}-5-yl)\text{oxy}]\text{tropane maleate (II}$

maleate) were compared in vivo and in vitro in guinea pigs with those of their resp. quaternary methobromide derivs., III and IV. Quaternization enhanced the anticholinergic activities in vitro and in vivo, except on oral administration, probably due to the low absorption of III and IV. The central anticholinergic activity of III and IV was very weak. Little difference was observed between the antihistaminic activities of these 4 compds. Investigations into the effect of these compds. on the refractory period of the isolated guinea pig heart demonstrated a correlation between the lengthening of that parameter and the level of antihistaminic activity. In this regard, III and IV were much less active than I and II maleate, possibly because III and IV failed to reach the site of action.

IT 31420-72-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of)

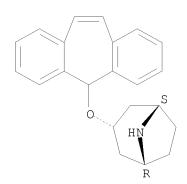
RN 31420-72-1 CAPLUS

CN $1\alpha H$, $5\alpha H$ -Nortropane, 3α -(5H-dibenzo[a,d]cyclohepten-5-yloxy)-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47337-72-4 CMF C22 H23 N O

Relative stereochemistry.



CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1967:74665 CAPLUS

DOCUMENT NUMBER: 66:74665

ORIGINAL REFERENCE NO.: 66:13979a,13982a

TITLE: Effect of alkyl substitution in drugs. XVI. Basic ethers of 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-

ol and some related compounds

AUTHOR(S): Van der Stelt, Cornelius; Funcke, A. B. H.; Tersteege,

H. M.; Nauta, Wijbe T.

CORPORATE SOURCE: N. V. Koninkl. Pharmaceut. Fabrieken, Amsterdam, Neth.

SOURCE: Arzneimittel-Forschung (1966), 16(10), 1342-5

CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal LANGUAGE: English

GI For diagram(s), see printed CA Issue.

cf. CA 66, 46310s. Twenty-one basic dibenzocycloheptene ethers and 19 AR cyclooctene ethers were synthesized and were tested for spasmolytic activities on isolated guinea pig ileum, for antiasthmatic activity in guinea pigs, for antiulcerogenic effect in Shay rats, and for stimulating effects on the central nervous system in mice and guinea pigs. The tropine ethers had the greatest spasmolytic activities. Quaternization afforded substances which were even more effective against acetylcholine but their antihistaminic and central activities were reduced or were completely absent. Detropene citrate was the most effective derivative against bronchoconstriction in guinea pigs. Tropine ethers with the basic structure I and R1 = H and R2 = tropan- 3α -yl with MeBr and R1 = 3-Me and R2 = tropan-3 α -yl with maleic acid, and the tropine ethers with the basic structure II and R1 = H and R2 = tropan- 3α -yl with maleic acid and R1 = 3-Me and R2 = tropan-3 α -yl with maleic acid, protected Shay rats against exptl.-induced gastric ulcers. Basic structure I with R1 = H and R2 = 2-(dimethylamino)ethyl with maleic acid, or <math>R1 = H and R2= 1-methyl-4-piperidyl with maleic acid, stimulated the central nervous system. No general trends regarding the effect of substitution on activity by these compds. was observed. However, derivs. carrying a tert-Bu group or halogen in the 3-position had little activity, and activity was decreased when the substituent on the N atom of the nortropyl residue was larger than Et.

IT 2189-52-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and biol. activity)

RN 2189-52-8 CAPLUS

CN $1\alpha H$, $5\alpha H$ -Nortropane, 3α -[(10,11-dihydro-5H-

dibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47337-71-3 CMF C22 H25 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:462988 CAPLUS

DOCUMENT NUMBER: 63:62988
ORIGINAL REFERENCE NO.: 63:11522f-h

TITLE: 3-(Dibenzo[a,d]-1,4-cycloheptadien-5-yloxy)nortropane
PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken voorheen

Brocades-Stheeman & Pharmacia

SOURCE: 9 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	BE 643795		19640814	BE	
IOI	RITY APPLN. INFO.:			GB	19630215

GI For diagram(s), see printed CA Issue.

AB The title compound (I) exhibits spasmolytic activity but with less side-effects than the corresponding N-Me derivative (II, R = Me) (Belg. 589,192). I can also be used as intermediate for other therapeutically active agents, e.g. N-alkylated compds. The preparation is given. Thus, a mixture of 6 g. nortropine, 23.6 g. dibenzo[a,d]-1,4-cycloheptadien-5-yl chloride and 8.9 g. NBu3 in 175 ml. PhMe was refluxed 6 hrs.; on addition of 250 ml. acetone and 300 ml. petr. ether (b. 28-40°) 7.6 g. crude HCl salt of I was precipitated, m. 192-4° (PrOH). Further, a solution of II (R = Me) (no weight given) in 100 ml. anhydrous C6H6 was added dropwise to a solution of 11.66 g. BrCN in 100 ml. C6H6; the mixture was refluxed 3 hrs., H2O added, the organic layer separated, dried and evaporated to yield an oil which

addition of EtOH gave 12.5 g. II (R = CN) (IV), m. $158-60^{\circ}$ (EtOH). A solution of 9.7 g. IV, 24.0 g. KOH in 12 ml. H2O, and 48 ml. EtOH was refluxed 20 hrs. to yield after the usual workup 11.3 g. I maleate, m. $184.5-86^{\circ}$ (EtOH). The preparation of some pharmaceutical forms is given.

IT 2189-52-8

on

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 2189-52-8 CAPLUS

CN $1\alpha H$, $5\alpha H$ -Nortropane, 3α -[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47337-71-3 CMF C22 H25 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 1956-58-7 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

RN 2183-57-5 CAPLUS

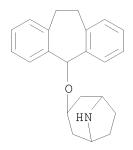
CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]- (7CI, 8CI) (CA INDEX NAME)

RN 102346-52-1 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (7CI) (CA INDEX NAME)

CM 1

CRN 2183-57-5 CMF C22 H25 N O



CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:462987 CAPLUS

DOCUMENT NUMBER: 63:62987
ORIGINAL REFERENCE NO.: 63:11522c-f

TITLE: N, N-Alkyleniminoalkanamidines

INVENTOR(S): Mull, Robert P.

PATENT ASSIGNEE(S): CIBA Corp.

SOURCE: 4 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE PATENT NO. APPLICATION NO. 19650615 US 1964-336881 US 3189601 19640110 PRIORITY APPLN. INFO.: US 19640110 Addition of 50 g.(CH2)6NH to 212 g. CH2:CHCN, followed by 38% PhCH2NMe3+OH-, refluxing 1.5 hrs., stirring overnight, and fractionation gave (CH2)6NCH2CH2CN (I), b14 121-3°, n30D 1.4710. I (30.4 g.), 13.9 g. NH2OH.HCl in 300 ml. anhydrous EtOH, and NaOEt from 4.6 g. Na in 150 ml. anhydrous EtOH refluxed 3 hrs. gave, after 72 hrs. and treatment of oily concentrates in anhydrous EtOH with dry HCl and adding Et20, (CH2)6NCH2CH2C(NH2):NOH.2HCl (II), m. 183-5° (decomposition) (EtOH). Action of 40% NaOH on II and extraction with CHCl3 gave (CH2)6NCH2CH2C(NH2):NOH (III), m. $80-82^{\circ}$ (xylene). Hydrogenation of 18.5 g. III on 5 g. Rh-Al2O3 in 100 ml. anhydrous EtOH, followed by filtration into HBr in EtOH gave (CH2)6NCH2CH2C(NH2):NH.2HBr (IV), m. $164-6^{\circ}$ (EtOH-C6H14). By similar methods were obtained (CH2)5NCH2CH2C(NH2):NH.2HBr, m. 169-70° (EtOH-C6H14); (CH2)7NCH2CH2C(NH2):NH.2HBr, m. 176-8° (EtOH-Et2O); and (CH2)6NCH2C(NH2):NH.2HBr, m. 186-8° (C6H14-EtOH). HBr was passed through 15.2 g. I and 7.0 g. EtSH 30 min. to give (CH2)6NCH2CH2C(SEt):NH.2HBr, m. $152-4^{\circ}$, which on treatment with 10% NH3 in anhydrous EtOH gave IV. To a refluxing solution of 52.5 g. (CH2)6NH in 125 ml. C6H6 was added 40 g. Br(CH2)3CN in 60 ml. C6H6 to give, after 5 hrs. refluxing and fractionation, 36.2 g. (CH2)6N(CH2)3CN (V), b15 129-30°. A mixture of 36.2 g. V, 12.66 g. NH2OH.HCl, and 450 ml. EtOH, treated 3 hrs. with 4.22 g. Na in 250 ml. EtOH gave 11.4 g. (CH2)6N(CH2)3C(NH2):NOH, m. 87-9° (C6H14-EtOH), 10 q. of which gave 7 g. (CH2)6N(CH2) 3C(NH2):NH.2HBr, m. 142-4° (C6H14-EtOH). These amidines and their dihydrobromides can be used as antihypertensive agents. ΙT 2189-52-8 (Derived from data in the 7th Collective Formula Index (1962-1966)) RN 2189-52-8 CAPLUS $1\alpha H$, $5\alpha H$ -Nortropane, 3α -[(10,11-dihydro-5H-CN dibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

CRN 47337-71-3 CMF C22 H25 N O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1965:22721 CAPLUS

DOCUMENT NUMBER: 62:22721
ORIGINAL REFERENCE NO.: 62:4074d-f

TITLE: 3-(Dibenzo[a,d]-1,4-cycloheptadien-5-yloxy)nortropane

and its non-toxic salts

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken voorheen

Brocades-Stheeman & Pharmacia

SOURCE: 7 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

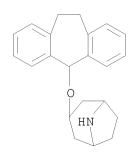
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6401268		19640817	NL 1964-1268	19640213
PRIORITY APPLN. INF	0.:		GB	19630215

GI For diagram(s), see printed CA Issue.

AB The title compound (I) had spasmolytic action [as did its N-Me derivative (II), Belg. 589,192], but was superior to II as to side effects. A mixture of 6 g. nortropine, 23.6 g. dibenzo[a,d]-1,4-cycloheptadien-5-yl chloride, and 8.9 g. Bu3N in 175 cc. dry toluene refluxed 6 hrs., the solvent distilled in vacuo, and a mixture of 250 cc. Me2CO and 300 cc. petr.-ether (b. 28-40°) added gave 7.6 g. I.HCl, m. 192-4° (PrOH). II and BrCN in C6H6 gave the N-CN derivative of I, m. 158-60° (EtOH), which with KOH gave I, isolated as its maleate, m. 184.5-6° (EtOH). A pharmaceutical composition containing the citrate of I is given.

2183-57-5 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]- (7CI, 8CI) (CA INDEX NAME)



RN

RN

1T 1956-58-7P, Nortropane, 3-[(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxy]-, hydrochloride 2183-57-5P,
Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]102346-52-1P, Nortropane, 3-[(10,11-dihydro-5Hdibenzo[a,d]cyclohepten-5-yl)oxy]-, maleate (1:1)
RL: PREP (Preparation)

(preparation of) 1956-58-7 CAPLUS

CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

RN 2183-57-5 CAPLUS CN Nortropane, 3-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)oxy]- (7CI, 8CI) (CA INDEX NAME)

CM 1

CRN 2183-57-5 CMF C22 H25 N O

CM :

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

=> d his

(FILE 'HOME' ENTERED AT 12:26:01 ON 02 MAR 2008)

FILE 'REGISTRY' ENTERE	D AT	12:26:27	ON	02	MAR	2008
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STRUCTURE UPLOADED L1 STRUCTURE 10 S L1 278 S L1 FULL

L2

L3

FILE 'CAPLUS' ENTERED AT 12:26:52 ON 02 MAR 2008

L4 28 S L3 FULL